



# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 104080**

**TO: James Spear  
Location: CM1/3A01/2B01  
Art Unit: 1615  
September 29, 2003**

**Case Serial Number: 10/005511**

**From: P. Sheppard  
Location: CM1-1E03  
Phone: (703) 308-4499**

**sheppard@uspto.gov**

### **Search Notes**

=> fil hcaplus  
 FILE 'HCAPLUS' ENTERED AT 09:27:55 ON 29 SEP 2003  
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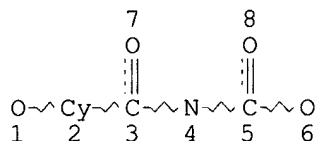
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FILE COVERS 1907 - 29 Sep 2003 VOL 139 ISS 14  
 FILE LAST UPDATED: 28 Sep 2003 (20030928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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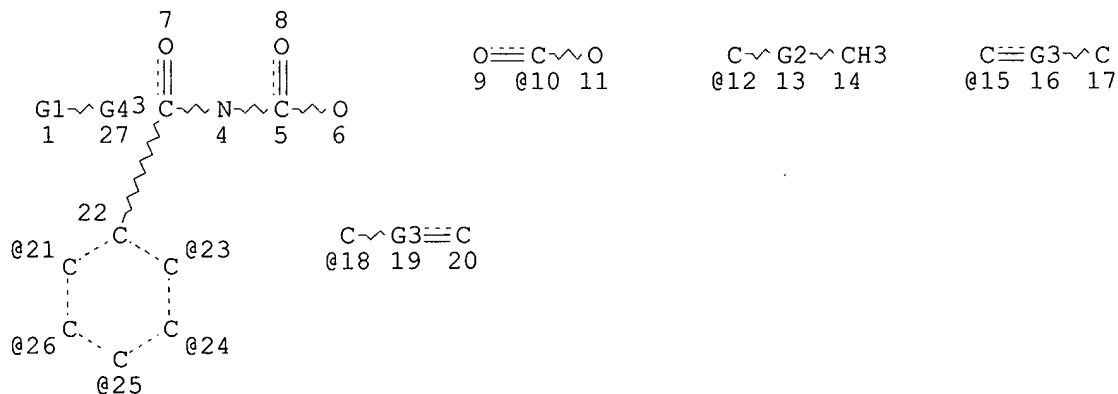
=> d stat que 114  
 L3 STR



NODE ATTRIBUTES:  
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
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 NUMBER OF NODES IS 8

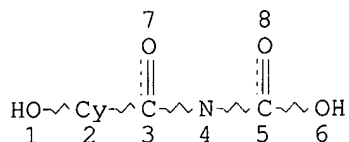
STEREO ATTRIBUTES: NONE  
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 L10 STR



VAR G1=X/OH/S/10/12/15/18  
 REP G2=(3-3) C  
 REP G3=(0-2) C  
 VAR G4=23/24/25/26/21  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE  
 L11 85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10  
 L12 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE  
 L13 1 SEA FILE=REGISTRY SUB=L11 SSS FUL L12  
 L14 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L13

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=> d ibib abs hitrn l14 1

L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1986:3120 HCAPLUS  
 DOCUMENT NUMBER: 104:3120  
 TITLE: Test strips for hematocrit-independent determination  
 of substances in whole blood  
 INVENTOR(S): Pfuetzner, Ludwig; Kretzschmar, Frank; Plaschnik,  
 Dieter; Huenniger, Henner; Kallies, Karl Heinz;  
 Loeffler, Elisabeth; Rost, Inge; Schild, Beate;  
 Thiele, Hans Juergen; Knabe, Guenter  
 PATENT ASSIGNEE(S): VEB Arzneimittelwerk Dresden, Ger. Dem. Rep.  
 SOURCE: Ger. (East), 10 pp.  
 CODEN: GEXXA8  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 222419	A1	19850515	DD 1983-255802	19831020
DD 222419	B1	19870211		

PRIORITY APPLN. INFO.: DD 1983-255802 19831020  
 AB A test strip for detn. of e.g. glucose in whole blood consists of a film

carrier coated with a reagent-contg. transparent polymer layer 2-15 .mu.m thick which swells rapidly in aq. media with a low absorption capacity (0.2-3.0 mg/cm<sup>2</sup>). For example, glucose was detd. in whole blood, serum, or urine with a transparent cellulose acetate film coated with a mixt. of gelatin (6 g/m<sup>2</sup>) hardened with Cr(OAc)<sub>3</sub> (450 mg/m<sup>2</sup>), 1-(3'-sulfo-4'-phenoxy)phenyl-3-(carboxyheptadecylamido)-5-pyrazolone (369 mg/m<sup>2</sup>), 4-(N-.delta.-sulfobutyl-N-butylammonium)anilinium sulfate (240 mg/m<sup>2</sup>), glucose oxidase (10,000 units/m<sup>2</sup>), peroxidase (500 units/m<sup>2</sup>), ethylene glycol (1.5 mL/m<sup>2</sup>), and Na hexadecylsulfonate (3 mg/m<sup>2</sup>), adjusted to pH 7.4 with NaOH. After rinsing off excess sample and incubating the strip, the color developed was read visually or by transmission or reflection photometry. The results are independent of the hematocrit of whole blood, and the single-layer strip is inexpensive to manuf.

IT 99468-84-5

RL: ANST (Analytical study)

(test strip contg., for glucose detn. in blood and urine)

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=> fil reg

FILE 'REGISTRY' ENTERED AT 09:28:09 ON 29 SEP 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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=> d ide can l13 1

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN

RN 99468-84-5 REGISTRY

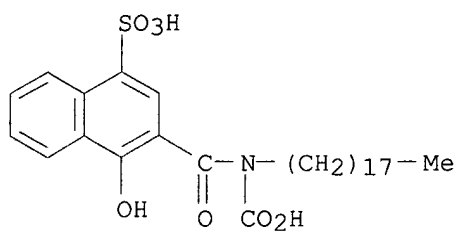
CN 1-Naphthalenesulfonic acid, 3-[(carboxyoctadecylamino)carbonyl]-4-hydroxy-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H45 N O7 S

SR CA

LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 104:3120

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=&gt; fil hcaplus

FILE 'HCAPLUS' ENTERED AT 09:28:26 ON 29 SEP 2003

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FILE COVERS 1907 - 29 Sep 2003 VOL 139 ISS 14

FILE LAST UPDATED: 28 Sep 2003 (20030928/ED)

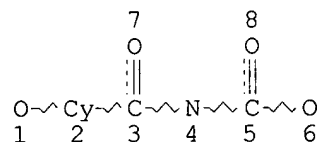
This file contains CAS Registry Numbers for easy and accurate substance identification.

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DEFAULT ECLEVEL IS LIMITED

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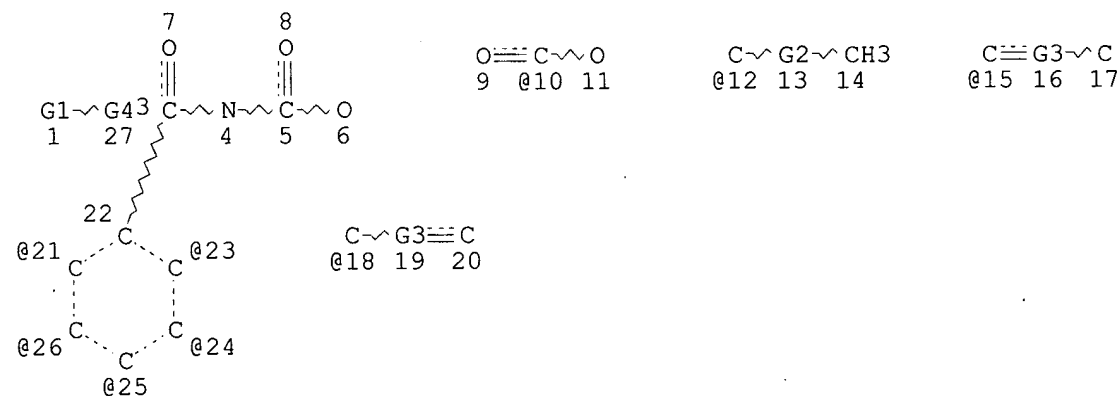
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L9 1293 SEA FILE=REGISTRY SSS FUL L3

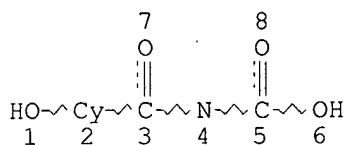
L10 STR



VAR G1=X/OH/S/10/12/15/18  
 REP G2=(3-3) C  
 REP G3=(0-2) C  
 VAR G4=23/24/25/26/21  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE  
 L11 85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10  
 L12 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE  
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 L15 84 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L13  
 L16 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L15

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=> d ibib abs hitrn l16 1-20

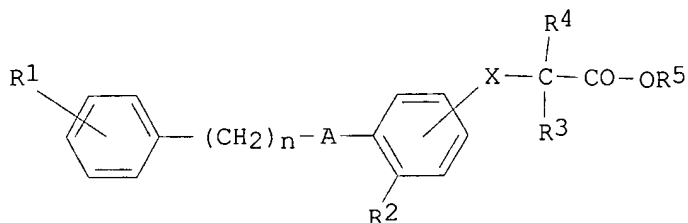
L16 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:428856 HCAPLUS  
 DOCUMENT NUMBER: 137:20225  
 TITLE: Preparation of phenylmethylalkanoic acid derivatives  
 as PPAR.alpha. agonists useful in the treatment of  
 hyperlipidemia, arteriosclerosis, diabetes, and  
 obesity  
 INVENTOR(S): Miyachi, Hiroyuki; Nomura, Masahiro; Murakami, Kouji  
 PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044127	A1	20020606	WO 2001-JP10355	20011128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,  
 UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002022552 A5 20020611 AU 2002-22552 20011128  
 PRIORITY APPLN. INFO.: JP 2000-363679 A 20001129  
 WO 2001-JP10355 W 20011128

OTHER SOURCE(S): MARPAT 137:20225  
 GI



AB The title compds. I [R1 represents trifluoromethyl, optionally substituted phenoxy, etc.; R2 represents hydrogen or lower alkoxy; R3, R4 and R5 represent each hydrogen or lower alkyl; A represents NHCO or CONH; X is located at the para-position relative to A and represents oxygen or sulfur, or X is located at the para-position relative to R2 and represents oxygen or sulfur; and n is an integer of from 0 to 2], useful as PPAR.alpha. agonists (no data) for the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity, are prepd. For example, 2-[[4-[N-[[4-(trifluoromethyl)phenyl]methyl]carbamoyl]-3-methoxyphenyl]methyl]butyric acid was prepd.

IT **433926-32-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylmethylalkanoic acid derivs. as PPAR.alpha. agonists useful in treatment of hyperlipidemia and arteriosclerosis and diabetes)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:581649 HCAPLUS

DOCUMENT NUMBER: 135:163628

TITLE: Preparation of derivatives of known pesticides, with enhanced properties

INVENTOR(S): Mulvihill, Mark Joseph; Shaber, Steven Howard; Kelly, Martha Jean

PATENT ASSIGNEE(S): Rohm and Haas Company, USA

SOURCE: PCT Int. Appl., 1646 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056358	A2	20010809	WO 2001-US651	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				



CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6376548 B1 20020423 US 2000-493865 20000128  
 AU 2001030875 A5 20010814 AU 2001-30875 20010126  
 WO 2002072559 A1 20020919 WO 2002-US7423 20020312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-178878P P 20000128  
 US 2000-493865 A 20000128  
 WO 2001-US651 W 20010126  
 US 2001-804704 A 20010313

OTHER SOURCE(S): MARPAT 135:163628

AB A very large no. of derivs. of known pesticides were prepd. The moieties substituted to the known pesticides enhance or favorably modify the activity and properties of the parent pesticide.

IT **353757-98-9P 353757-99-0P**  
 RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. as pesticide with enhanced properties)

L16 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:527379 HCAPLUS  
 DOCUMENT NUMBER: 129:176908  
 TITLE: Soluble chromophores having improved solubilizing groups and their use  
 INVENTOR(S): Hall-Gouille, Veronique; Bize, Aline  
 PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9832802	A1	19980730	WO 1998-EP248	19980117
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9862109	A1	19980818	AU 1998-62109	19980117
EP 968250	A1	20000105	EP 1998-904092	19980117
EP 968250	B1	20010418		
R:	CH, DE, FR, GB, IT, LI			

JP 2001513119 T2 20010828 JP 1998-531549 19980117  
 TW 444051 B 20010701 TW 1998-87100901 19980123  
 US 6274728 B1 20010814 US 1999-465868 19991216  
 PRIORITY APPLN. INFO.: CH 1997-171 A 19970127  
 WO 1998-EP248 W 19980117  
 US 1998-13659 B1 19980226

OTHER SOURCE(S): MARPAT 129:176908

AB The colorants A(B)x (x = 1-8; A = radical of a chromophore of the quinacridone, anthraquinone, perylene, indigo, quinophthalone, indanthrone, isoindolinone, isoindoline, dioxazine, azo, phthalocyanine or diketopyrrolopyrrole series; B = H or solubilizing group) are obtained whereby A is bonded to x groups B via one or more hetero atoms, those hetero atoms being selected from the group consisting of N, O, and S and forming part of the radical A. The colorants are used in high-mol.-wt. org. materials, thermo-, photo-, or chemo-sensitive recording materials, light-sensitive neg. or pos. resist compns., ink compns. for ink-jet printing, and color tapes for thermal transfer printing. The sol. chromophore derivs. can be converted to the underivatized form (B = H) by heating after they are incorporated into a substrate. Thus, bis(1,1-dimethyl-3,7-dioxa-1-heptyl) oxydicarbonate was prepd. and used to treat C.I. Pigment Violet 37, giving the red tetrakis(1,1,-dimethyl-3,7-dioxa-1-heptyloxycarbonyl) deriv. of C.I. Pigment Violet 37 in 65% yield; this pigment was used in a coating compn.

IT 211322-06-4P 211322-07-5P

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (pigment; prepn. of pigments contg. labile solubilizing groups)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:618073 HCAPLUS

DOCUMENT NUMBER: 127:262561

TITLE: synthesis and DNA alkylating activity of MCBI analogs of CC-1065 and the duocarmycins

INVENTOR(S): Boger, Dale L.

PATENT ASSIGNEE(S): Scripps Research Institute, USA; Boger, Dale L.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732850	A1	19970912	WO 1997-US3641	19970307
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2246783	AA	19970912	CA 1997-2246783	19970307
AU 9719902	A1	19970922	AU 1997-19902	19970307
AU 711974	B2	19991028		
EP 888301	A1	19990107	EP 1997-908059	19970307
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2000506168	T2	20000523	JP 1997-531987	19970307
US 5985908	A	19991116	US 1998-142337	19980904

PRIORITY APPLN. INFO.: US 1996-13024P P 19960308  
 WO 1997-US3641 W 19970307  
 OTHER SOURCE(S): MARPAT 127:262561  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB MCB1 (7-methoxy-1,2,9,9a-tetrahydrocyclopropa[c]benz[e]indol-4-one) (I) (R1 = H) is employable as a DNA alkylating agent and can be incorporated into analogs of CC-1065 and the duocarmycins I (R1 = Q1, Q2, Q3, Q4) for constructing regioselective DNA alkylating agents. Thus, I (R1 = Q1) (II) is prepd. by reacting 1-(chloromethyl)-5-hydroxy-8-methoxy-1,2-dihydro-3H-benz[e]indole with Q1-CO2H followed by cyclopropanation with NaH in THF-DMF. The relative rates of DNA alkylation do not follow the relative rates of acid-catalyzed solvolysis.

IT 196306-02-2P 196306-03-3P 196306-04-4P

196306-10-2P 196306-21-5P 196306-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and DNA alkylating activity of MCB1 analogs of CC-1065 and the duocarmycins)

L16 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:549377 HCAPLUS

DOCUMENT NUMBER: 127:161997

TITLE: Carbamoyloxy derivatives of mutilin and their use as antibacterials

INVENTOR(S): Hinks, Jeremy David; Takle, Andrew Kenneth; Hunt, Eric

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK; Hinks, Jeremy David;

Takle, Andrew Kenneth; Hunt, Eric

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

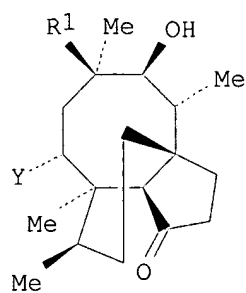
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

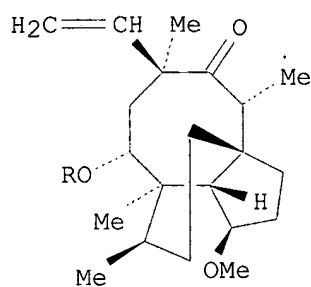
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725309	A1	19970717	WO 1996-EP5874	19961219
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RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2240467	AA	19970717	CA 1996-2240467	19961219
AU 9713078	A1	19970801	AU 1997-13078	19961219
AU 715229	B2	20000120		
EP 874809	A1	19981104	EP 1996-944684	19961219
EP 874809	B1	20030827		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
CN 1214039	A	19990414	CN 1996-180177	19961219
BR 9612426	A	19990713	BR 1996-12426	19961219
JP 2000503642	T2	20000328	JP 1997-524826	19961219
ZA 9700017	A	19980702	ZA 1997-17	19970102
AP 872	A	20000928	AP 1997-1047	19970721

W: BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW  
 WO 9805659 A1 19980212 WO 1997-EP4166 19970729  
 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
 DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,  
 UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG  
 AU 9742036 A1 19980225 AU 1997-42036 19970729  
 EP 934316 A1 19990811 EP 1997-940050 19970729  
 EP 934316 B1 20021016  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI  
 BR 9711008 A 19990817 BR 1997-11008 19970729  
 CN 1231665 A 19991013 CN 1997-198347 19970729  
 NZ 333926 A 20000526 NZ 1997-333926 19970729  
 JP 2000515532 T2 20001121 JP 1998-507584 19970729  
 AT 226203 E 20021115 AT 1997-940050 19970729  
 ES 2182114 T3 20030301 ES 1997-940050 19970729  
 ZA 9706817 A 19990201 ZA 1997-6817 19970731  
 NO 9803074 A 19980831 NO 1998-3074 19980702  
 US 6020368 A 20000201 US 1998-101210 19981204  
 NO 9900463 A 19990201 NO 1999-463 19990201  
 KR 2000029748 A 20000525 KR 1999-700856 19990201  
 US 6239175 B1 20010529 US 1999-467695 19991221  
 PRIORITY APPLN. INFO.: GB 1996-48 A 19960103  
 GB 1996-16305 A 19960802  
 WO 1996-EP5874 W 19961219  
 GB 1997-12963 A 19970619  
 WO 1997-EP4166 W 19970729  
 US 1998-101210 A3 19981204

OTHER SOURCE(S): MARPAT 127:161997  
 GI



I



II

AB Derivs. of mutilin of formula [I; Y = (un)substituted carbamoyloxy; R1 = vinyl, Et] and their pharmaceutically acceptable salts, useful in the treatment of bacterial infections (no data), are prepd. Thus, (3R)-epimutilin deriv. II (R = H) was treated with Ph isocyanate in CH2Cl2 contg. N,N-diisopropylethylamine at room temp. for 7 days to give II (R = PhNHCO), which in dioxane was treated with a satd. soln. of ZnCl2 in concd. HCl to give the title compd. mutilin 14-phenylcarbamate.

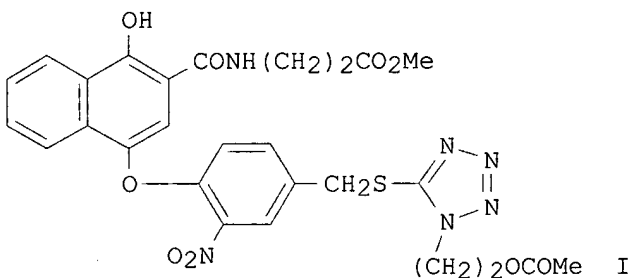
IT 193535-05-6P 193536-54-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of carbamoyloxymutilins as antibacterials)  
 IT 193535-03-4P 193535-31-8P 193535-81-8P  
 193535-83-0P 193536-65-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of carbamoyloxymutilins as antibacterials)  
 IT 193537-50-7P 193537-96-1P 193538-05-5P  
 193538-06-6P 193538-07-7P 193538-09-9P  
 193538-63-5P 193538-65-7P 193538-66-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of carbamoyloxymutilins as antibacterials)

L16 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1997:405468 HCAPLUS  
 DOCUMENT NUMBER: 127:42170  
 TITLE: Silver halide color photographic material containing development-inhibitor-releasing agent  
 INVENTOR(S): Sato, Naoki; Ishige, Osamu  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09114055	A2	19970502	JP 1995-270197	19951018
PRIORITY APPLN. INFO.: GI			JP 1995-270197	19951018



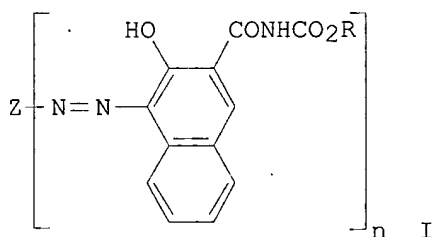
AB The title material contains a compd. SA(time)nZJX (S = C.ltoreq.10 substituent; A = group releasing (time)nZX upon reaction with oxidized developing agents; time = timing group; Z = N-contg. heterocycle; J = OCO bond-contg. group; X = substituent; n = 0-2). The variation in processing of the material due to the accumulation of released inhibitor in the processing soln. is less, and high quality color image are obtained. Thus, a multilayer color photog. film was prepd. by using I for the compd.  
 IT 190581-14-7  
 RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)  
 (photog. development inhibitor releasing coupler giving clear images)

L16 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:505802 HCAPLUS  
 DOCUMENT NUMBER: 119:105802  
 TITLE: Electrophotographic photoreceptors using azo type charge-generating agent  
 INVENTOR(S): Karasawa, Akio; Ito, Naoto; Oguchi, Takahisa  
 PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04229868	A2	19920819	JP 1990-414695	19901227
JP 2788127	B2	19980820		

PRIORITY APPLN. INFO.: JP 1990-414695 19901227  
 OTHER SOURCE(S): MARPAT 119:105802  
 GI



AB The photoreceptors comprise a photosensitive layer contg. .gtoreq.1 azo compd. I [R = (substituted) alkyl, arom. hydrocarbon ring or heterocyclic ring; Z = (substituted) arom. hydrocarbon ring or heterocyclic ring which may bond through a binding group; n = 2-4]. The photoreceptors show high photosensitivity and good durability in repeated use.

IT 146173-09-3 146173-10-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (diazo coupling of)

IT 146173-13-9 146173-14-0 146173-15-1  
 146173-16-2 146173-17-3 146173-18-4  
 146173-19-5 146173-20-8 146173-21-9  
 146173-22-0 146173-23-1 146173-24-2  
 146173-25-3

RL: TEM (Technical or engineered material use); USES (Uses)  
 (electrophotog. photoreceptor charge-generating agent)

IT 146173-11-7P 146173-12-8P

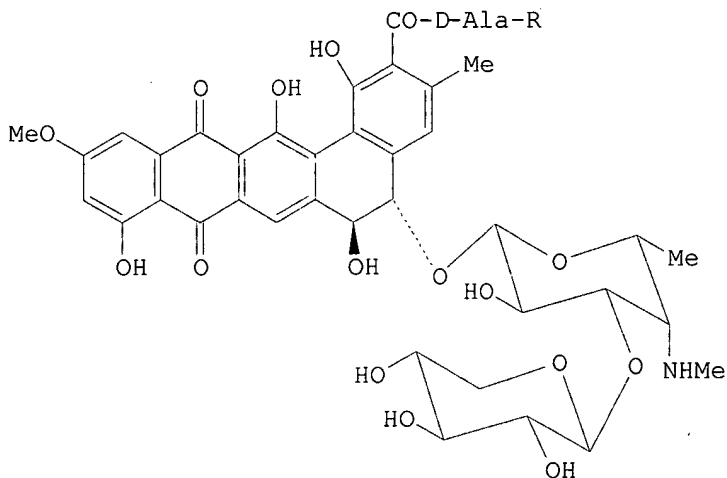
RL: PREP (Preparation)  
 (prepn. of, electrophotog. photoreceptor charge-generating agent)

L16 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:473091 HCAPLUS  
 DOCUMENT NUMBER: 119:73091  
 TITLE: Synthesis and antifungal activities of pradimicin A derivatives modification of the alanine moiety  
 AUTHOR(S): Nishio, Maki; Ohkuma, Hiroaki; Kakushima, Masatoshi; Ohta, Shinichi; Iimura, Seiji; Hirano, Minoru; Konishi, Masataka; Oki, Toshikazu  
 CORPORATE SOURCE: Bristol-Myers Squibb Res. Inst., Tokyo, 153, Japan  
 SOURCE: Journal of Antibiotics (1993), 46(3), 494-9

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

CODEN: JANTAJ; ISSN: 0021-8820



AB Title pradimicin A derivs. I (R = OMe, OEt, OCH<sub>2</sub>O<sub>2</sub>CMe<sub>3</sub>, NH<sub>2</sub>, NHMe, NH<sub>4</sub><sup>+</sup>, D-Ala-OH, L-Ala-OH, L-Asp-OH, L-Lys-OH, Gly-OH) were prepd. and in vitro and in vivo antifungal activities of the derivs. were examd. in comparison with those of pradimicin A (I, R = OH). The amide derivs. showed activities comparable to pradimicin A, indicating that the free carboxyl group can be modified without impairing the antifungal activity.

IT **148676-95-3**

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (amidation of, with dimethylamine)

IT **148676-96-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and hydrogenolysis of)

L16 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:559494 HCAPLUS

DOCUMENT NUMBER: 115:159494

TITLE: A short efficient route to acronycine and other acridones

AUTHOR(S): Horne, Stephen; Rodrigo, Russell

CORPORATE SOURCE: Guelph-Waterloo Cent. Grad. Work Chem., Univ.  
 Waterloo, Waterloo, ON, N2L 3G1, Can.

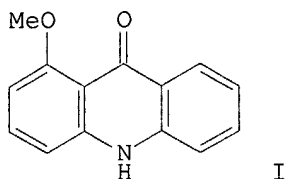
SOURCE: Journal of the Chemical Society, Chemical Communications (1991), (15), 1046-8  
 CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:159494

GI



AB A Fries type of rearrangement of N-tosyl-o-iodobenzanilides, triggered by lithium-iodine exchange at low temp. is the key step in a general, regiospecific synthesis of acridones, e.g. I.

IT **136138-31-3P 136138-32-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and Fries rearrangement of)

L16 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:491783 HCAPLUS

DOCUMENT NUMBER: 115:91783

TITLE: Syntheses of tolrestat analogs containing additional substituents in the ring and their evaluation as aldose reductase inhibitors. Identification of potent, orally active 2-fluoro derivatives

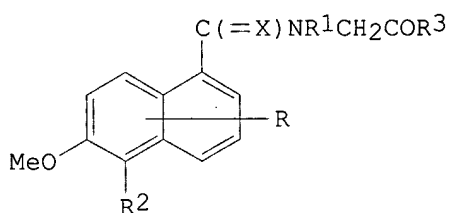
AUTHOR(S): Wrobel, Jay; Millen, Jane; Sredy, Janet; Dietrich, Arlene; Gorham, Beverly J.; Malamas, Michael; Kelly, Joseph M.; Bauman, John G.; Harrison, Maria C.; et al.  
CORPORATE SOURCE: Wyeth-Ayerst Res., Inc., Princeton, NJ, 08543-8000, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2504-20  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of aldose reductase inhibitors were prepd. which were analogs of the potent, orally active inhibitor tolrestat I (R = H; R1 = Me; R2 = CF3; R3 = OH; X = S). These compds., e.g., I (R = 2-F, Cl, Me, OMe, OEt, OPh, OCH2Ph, 3-F, Br, Me, Ph, 7-Me; R1 = Me, CO2Me, CO2Et; R2 = CF3, Br; R3 = OH, NH2, NHCO2Et; X = S, O) have an extra substituent on one of the unoccupied positions on the naphthalene ring. These compds. were evaluated in two in vitro systems: an isolated enzyme prep. from bovine lens to assess their intrinsic inhibitory activity and an isolated sciatic nerve assay to det. their ability to penetrate membranes of nerve tissue. These compds. were also evaluated in vivo as inhibitors of galactitol accumulation in the lens, sciatic nerve, and diaphragm of galactose-fed rats. In general, compds. I were potent inhibitors of bovine lens aldose reductase. I (R = 2-halo) exhibited high activity in the nerve of the 4-day-galactose-fed rat, and in several instances, the primary amide



prodrug I (R1 = Me; R2 = CF2; R3 = NH2; X = O) enhanced the in vivo potency of the resp. carboxylic acid I (R3 = OH). Two 2-fluoro-derivs. I (R = 2-F, R1 = Me, CO2Me; R2 = CF3; R3 = NH2, OH; X = O), had esp. high activity in vivo and were chosen for addnl. studies. These compds. were found to be approx. equipotent to tolrestat in the sciatic nerve of the galactose-fed rat and the STZ rat, as judged by their ED50's in these assays. Although primary amide analog I (R = 2-F; R1 = Me; R2 = CF3; R3 = NH2; X = O) did not have intrinsic inhibitory activity toward aldose reductase, it was metabolized to an active form in vivo and also in vitro within the sciatic nerve.

IT 122670-49-9P 122670-50-2P 122670-51-3P

122670-52-4P 122670-53-5P 122670-56-8P

122670-87-5P 134057-80-0P 134058-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and aldose reductase inhibition by)

IT 122670-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

IT 122670-77-3P 134058-02-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with tert-Bu bromoacetate)

IT 122670-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and selective hydrolysis of)

IT 122670-72-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with tert-Bu bromoacetate)

L16 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:81247 HCAPLUS

DOCUMENT NUMBER: 114:81247

TITLE: Preparation of benzoylaminoxyacetic acid esters as herbicides

INVENTOR(S): Grina, Jonas; Ebner, Cuno; Kirkpatrick, Joel Lee; Steiger, Arthur; Busteed, Roslynn

PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

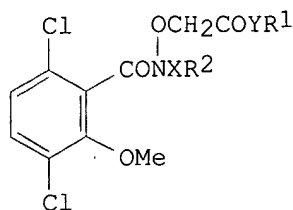
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 381913	A1	19900816	EP 1989-810934	19891211
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 53603	A2	19901128	HU 1989-6342	19891201
CA 2005256	AA	19900614	CA 1989-2005256	19891212
DK 8906270	A	19900615	DK 1989-6270	19891212
AU 8946161	A1	19900621	AU 1989-46161	19891212
CN 1043496	A	19900704	CN 1989-109417	19891213
JP 02212464	A2	19900823	JP 1989-323656	19891213
BR 8906452	A	19900828	BR 1989-6452	19891214
ZA 8909582	A	19910828	ZA 1989-9582	19891214
			GB 1988-29204	19881214

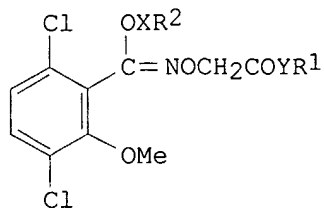
PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 114:81247

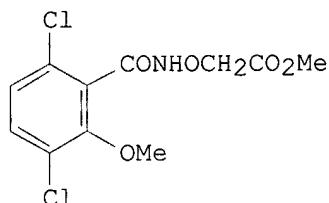
GI



I



II



III

AB The title compds. I and II [X = CO, SO<sub>2</sub>; Y = O, S; R<sub>1</sub> = H, (substituted) alkyl, alkenyl, alkynyl, etc.; R<sub>2</sub> = H, alkyl, alkenyl, alkoxy, phenoxy, etc.] were prepd. Reaction of benzoylaminoxyacetate III with AcCl in the presence of pyridine gave I (X = CO; R<sub>1</sub> = R<sub>2</sub> = Me; Y = O). I (X = CO; Y = O; R<sub>1</sub> = Me; R<sub>2</sub> = cyclopropyl) at 0.25 kg/ha (pre- or postemergent) gave substantial control of weeds (Setaria viridis, Solanum nigrum, etc.). Formulations contg. I are given.

IT 131777-37-2P 131777-58-7P 131777-67-8P  
131777-71-4P 131777-72-5P 131777-77-0P  
131797-25-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

L16 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:534749 HCAPLUS

DOCUMENT NUMBER: 111:134749

TITLE: N-(alkoxycarbonyl)-N-naphthoylglycines as aldose reductase inhibitors and pharmaceutical compositions containing them

INVENTOR(S): Wrobel, Jay E.; Sestanj, Kazimir

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 18 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

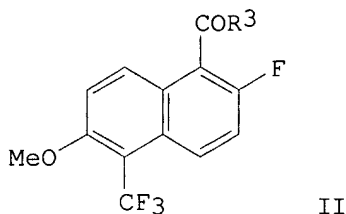
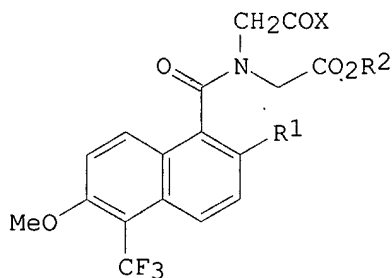
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4820727	A	19890411	US 1987-137406	19871223
CA 1326680	A1	19940201	CA 1988-586305	19881219
WO 8905793	A1	19890629	WO 1988-US4625	19881221
W: JP				
JP 02502727	T2	19900830	JP 1989-500653	19881221
EP 322256	A1	19890628	EP 1988-312314	19881223
EP 322256	B1	19930324		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 87301	E	19930415	AT 1988-312314	19881223
PRIORITY APPLN. INFO.:			US 1987-137406	19871223
			WO 1988-US4625	19881221

EP 1988-312314 19881223

OTHER SOURCE(S):  
GI

CASREACT 111:134749; MARPAT 111:134749



AB The title compds. [I: R1 = halo, C1-3 perfluoroalkoxy; R2 = alkyl; X = OH, NH2, alkoxy] and their pharmaceutically acceptable salts, useful as aldose reductase inhibitors, are prepd. Naphthoic acid II (R3 = OH) (prepn. given) was reacted with H2NCH2CO2CMe3 to give II (R3 = NHCH2CO2CMe3), which was treated with ClCO2Me to give I (R1 = F, R2 = Me, X = CMe3), which was hydrolyzed to give I (R1 = F, R2 = Me, X = OH) (III). III in an in vivo study using galactosemic rats showed 86% inhibition of aldose reductase at 10<sup>-7</sup> M vs. 65% for tolrestat at the same concn.

IT 122670-57-9P 122670-72-8P 122670-73-9P  
122670-75-1P 122670-77-3P 122670-78-4P  
122670-83-1P 122670-84-2P 122670-85-3P  
122670-86-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of aldose reductase inhibitor)

IT 122670-49-9P 122670-50-2P 122670-51-3P  
122670-52-4P 122670-53-5P 122670-56-8P  
122670-87-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of as aldose reductase inhibitor)

L16 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:406594 HCAPLUS

DOCUMENT NUMBER: 97:6594

TITLE: The use of isoquinolinetrienes in the synthesis of benzo[c]phenanthridine alkaloids

AUTHOR(S): Pollers-Wieers, C.; Vekemans, J.; Toppet, S.; Hoornaert, G.

CORPORATE SOURCE: Dep. Chem., Katholieke Univ. Leuven, Louvain, 3030, Belg.

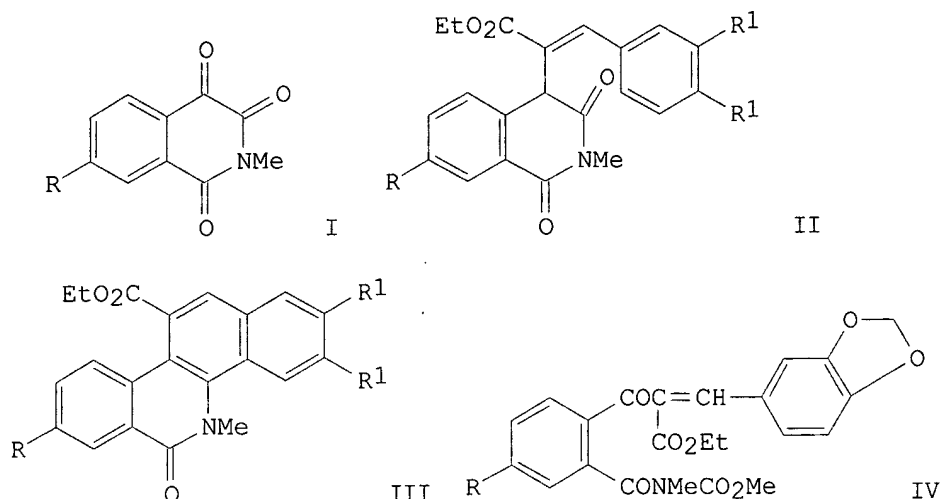
SOURCE: Tetrahedron (1981), 37(24), 4321-6

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Wittig-Horner reaction of isoquinolinetriones I (R = H, OMe, NO<sub>2</sub>) with (EtO)2P(O)CH(CO<sub>2</sub>Et)CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>R<sub>12</sub>-3,4 (R<sub>1</sub> = H; R<sub>12</sub> = OCH<sub>2</sub>O) gave II (R = H, OMe, NO<sub>2</sub>, R<sub>12</sub> = OCH<sub>2</sub>O; R = OMe, R<sub>1</sub> = H) which on enolization and methylation with CH<sub>2</sub>N<sub>2</sub> followed by intramol. photochem. cyclocondensation gave the benzophenanthridines III (R, R<sub>1</sub> as before). In the latter cyclization III (R = OMe, R<sub>1</sub> = H) was only a minor product (<5%), the major product being IV, which was obtained in 54% yield.

IT **82083-60-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L16 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:131050 HCAPLUS  
DOCUMENT NUMBER: 86:131050  
TITLE: Diffusion-transfer color photographic film unit  
INVENTOR(S): Yoshida, Yoshinobu; Ohishi, Yasushi  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51027934	A2	19760309	JP 1974-101681	19740903
PRIORITY APPLN. INFO.:			JP 1974-101681	19740903

AB In prepg. a color diffusion-transfer photog. film unit obtained by depositing on a transparent support a neg. Ag halide emulsion layer contg. a diffusion-resistant coupler which forms a nondiffusible dye on reacting with the oxidized form of an arom. primary amine color developer, and a nonphotosensitive hydrophilic colloid layer contg. a diffusion-resistant coupler which upon development yields a diffusible pos. dye image by reaction with the oxidized form of the arom. primary amine color developer, a 3rd coupler is used which forms a dye absorbing in a region necessary to compensate for the undesirable absorption of the dye formed by the coupler in the Ag halide emulsion layer.

IT **62050-96-8**

RL: TEM (Technical or engineered material use); USES (Uses)  
(photog. coupler, for color diffusion-transfer photog. films)

L16 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1975:514023 HCAPLUS  
 DOCUMENT NUMBER: 83:114023  
 TITLE: Substituted benzene derivatives  
 INVENTOR(S): Richter, Sidney B.; Barnas, Eugene  
 PATENT ASSIGNEE(S): Velsicol Chemical Corp., USA  
 SOURCE: U.S., 7 pp. Division of U.S. 3,840,874.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3891701	A	19750624	US 1972-299497	19721020
US 3649664	A	19720314	US 1968-765962	19681008
US 3804874	A	19740416	US 1971-148197	19710528
PRIORITY APPLN. INFO.:			US 1968-765962	19681008
			US 1971-148197	19710526

GI For diagram(s), see printed CA Issue.  
 AB The amides I (R = OMe; R1 = Ac, Me2CHO2C, EtCO, PhCO, EtO2C p-toluoyl), which are useful as acaricides, were prep'd. by reaction of 3,2,6-Cl(MeO)2C6H2COC1 with MeONH2.HCl to give I (R = H, R1 = OMe), which reacted with, e.g., Ac2O, Me2CHO2CCl, EtCOCl, PhCOCl, EtO2CCl, or p-MeC6H4COCl; in some cases II were also obtained. II (R1 = Me2CHO2C) was also an effective acaricide.  
 IT **36335-52-1P 36405-56-8P**  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and acaricidal activity of)

L16 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1974:569329 HCAPLUS  
 DOCUMENT NUMBER: 81:169329  
 TITLE: O-Alkyl-.alpha.-alkanoyloxybenzaldoximes  
 INVENTOR(S): Richter, Sidney, M.; Barnas, Eugene F.  
 PATENT ASSIGNEE(S): Velsicol Chemical Corp.  
 SOURCE: U.S., 4 pp. Division of U.S. 3,597,467 (CA 75;110065f).  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3839439	A	19741001	US 1970-82195	19701019
US 3597467	A	19710803	US 1968-779247	19681126
PRIORITY APPLN. INFO.:			US 1968-779247	19681126

GI For diagram(s), see printed CA Issue.  
 AB The benzamides I and the benzaldoximes II (R, R1 = Et, Me; R2 = EtS, EtO, Me2CHO, Me, Pr, PrO), useful as acaricides, were prep'd. E.g., reaction of MeONH2.HCl with 6,3,2-Cl2(MeO)C6H2COC1 gave 6,3,2-Cl2(MeO)C6H2CONHO Me, which reacted with ClC(O)SEt to give a mixt. of I and II (R = R1 = Me, R2 = EtS). Six I and three II were prep'd. I (R = R1 = Me, R2 = EtS) at 1000-3500 ppm killed 89-94% of the mites.  
 IT **33605-85-5P 33605-86-6P 33605-88-8P**  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and acaricidal activity of)

L16 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1974:403621 HCAPLUS  
 DOCUMENT NUMBER: 81:3621  
 TITLE: O-Acylated benzohydroxamates  
 INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.  
 PATENT ASSIGNEE(S): Velsicol Chemical Corp.  
 SOURCE: U.S., 6 pp. Division of U.S. 3,649,664 (CA  
 76;153374u).  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3804874	A	19740416	US 1971-148197	19710528
US 3649664	A	19720314	US 1968-765962	19681008
US 3891701	A	19750624	US 1972-299497	19721020
PRIORITY APPLN. INFO.:			US 1968-765962	19681008
			US 1971-148197	19710526

GI For diagram(s), see printed CA Issue.  
 AB Division of U.S. 3,649,664 (CA 76: 153374u). Benzamides (I; R = Ac, Me2CHO2C, EtCO, Bz, EtO2C, p-toluoyl) and their isomers (II) were prepd. by reaction of 3,2,6-Cl(MeO)2C6H2CONHOMe with RCl. I (R = Ac) at 100 ppm gave 89% mortality of Tetranychus urticae after 5 days. Other I and II were also useful as acaricides.  
 IT **36335-52-1P 36405-56-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

L16 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1973:439343 HCAPLUS  
 DOCUMENT NUMBER: 79:39343  
 TITLE: Control of acarids using 3-halobenzamides  
 INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.  
 PATENT ASSIGNEE(S): Velsicol Chemical Corp.  
 SOURCE: U.S., 6 pp. Division of U.S. 3,649,664 (CA  
 76;153374u).  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3733414	A	19730515	US 1971-148198	19710528
US 3649664	A	19720314	US 1968-765962	19681008
PRIORITY APPLN. INFO.:			US 1968-765962	19681008

AB 3-Halobenzamides (I) and the isomeric imidoanhydrides (II), where X = halogen, R1 and R3 = alkyl, R2 = alkyl or alkoxy, and R4 = alkyl, alkenyl, alkoxy, alkylthio, or III (where A = O, S, or alkylene and Z = alkyl, alkenyl, alkoxy, alkylthio, halogen, nitro, cyano, or dialkylamino), possessed acaricidal properties. Thus, N-acetyl-3-chloro-N,2,6-trimethoxybenzamide [36335-49-6] (I, where X = Cl, R1 = R3 = R4 = Me, and R2 = OMe) was prepd. and killed 89% of the two-spotted spider mites (Tetranychus urticae) infesting bush lima bean plants when the plants were watered with a soln. contg. 100 ppm of the benzamide deriv., and O-methyl-.alpha.-[ (isopropoxycarbonyl)oxy]-2,6-dimethoxy-3-

chlorobenzaldoxime [36335-50-9] (II, where X = Cl, R1 = R3 = Me, R2 = OMe, and R4 = OCH2Me2) killed 100% of the mites at 80 ppm.

IT **36335-52-1 36405-56-8**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)  
(acaricides)

L16 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:153374 HCAPLUS  
DOCUMENT NUMBER: 76:153374  
TITLE: Acaricidal N-acylated benzohydroxamates  
INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.  
PATENT ASSIGNEE(S): Velsicol Chemical Corp.  
SOURCE: U.S., 6 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3649664	A	19720314	US 1968-765962	19681008
US 3733414	A	19730515	US 1971-148198	19710528
US 3804874	A	19740416	US 1971-148197	19710528
US 3891701	A	19750624	US 1972-299497	19721020
PRIORITY APPLN. INFO.:			US 1968-765962	19681008
			US 1971-148197	19710526

GI For diagram(s), see printed CA Issue.

AB The title compds. (I and II) were prepd. and tested on Tetranychus urticae [Tetranychus telarius]. Thus, 3,2,6-Cl(MeO)2C6H2CONHOMe was refluxed 18 hr with Me2CHO2CCl in C6H6-C5H5N and sepd. chromatog. into I and II (R = OCHMe2). Similarly prepd. were I (R = Me, Et, Ph, p-tolyl, OEt) and II (R = Ph, p-tolyl). I (R = Me) gave 89% mortality of T. telarius on lima bean plants after 5 days at 100 ppm.

IT **36335-52-1P 36405-56-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

L16 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1971:510065 HCAPLUS  
DOCUMENT NUMBER: 75:110065  
TITLE: Acaricidal N,2-dimethoxy-N-(substituted carbonyl)-3,6-dichlorobenzamides  
INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.  
PATENT ASSIGNEE(S): Velsicol Chemical Corp.  
SOURCE: U.S., 4 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3597467	A	19710803	US 1968-779247	19681126
US 3839439	A	19741001	US 1970-82195	19701019
US 3891687	A	19750624	US 1970-82181	19701019
PRIORITY APPLN. INFO.:			US 1968-779247	19681126

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) and their isomers (II) are prepd. from an N-alkoxybenzamide. Thus, MeONH2.HCl and NaOH in 1.2 H2O-CHCl3 stirred

(cooling bath) with addn. of 2,3,6-MeO(Cl<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>COCl and the mixt. stirred several hr gave 2,3,6-MeO(Cl<sub>2</sub>)C<sub>6</sub>H<sub>2</sub>CONHOMe (III). III, ClCOSEt and C<sub>5</sub>H<sub>5</sub>N refluxed 5 hr in C<sub>6</sub>H<sub>6</sub> yielded a mixt. of I and II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = EtS, X<sub>1</sub> = X<sub>2</sub> = Cl), m. 71-4.degree.. Similarly were prepd. isomeric mixts. of I and II (R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = EtO, X<sub>1</sub> = X<sub>2</sub> = Cl; R<sub>1</sub> = R<sub>2</sub> = Me, R<sub>3</sub> = Me<sub>2</sub>CHO, X<sub>1</sub> = X<sub>2</sub> = Cl). I (II) control various species of mites and ticks.

IT **33605-85-5P 33605-86-6P 33605-88-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

=> fil caold

FILE 'CAOLD' ENTERED AT 09:29:23 ON 29 SEP 2003

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L3 STR

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L10 STR

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L17 1 SEA FILE=CAOLD ABB=ON PLU=ON L11

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L17 ANSWER 1 OF 1 CAOLD COPYRIGHT 2003 ACS on STN

AN CA50:11279i CAOLD

TI synthesis of 3 - fluoro - 4 - hydroxyphenylacetic acid

AU Lock, G.

IT **314-66-9** 345-72-2 350-29-8 351-52-0 351-54-2  
370-60-5 403-20-3 404-46-6 404-90-0 452-14-2 455-72-1  
458-09-3 574-74-3

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FILE 'REGISTRY' ENTERED AT 09:29:45 ON 29 SEP 2003

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STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6  
DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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5	RN	211322-06-4	REGISTRY
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85	RN	314-66-9	REGISTRY

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L11 ANSWER 1 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 433926-32-0 REGISTRY

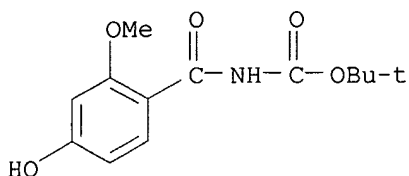
CN Carbamic acid, (4-hydroxy-2-methoxybenzoyl)-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C13 H17 N O5

SR CA

LC STN Files: CA, CAPLUS

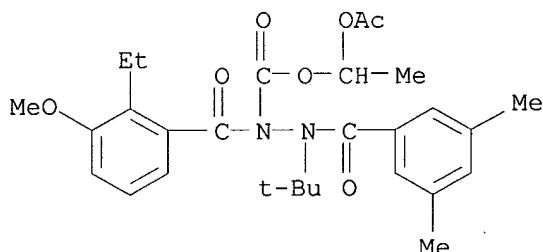


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:20225

L11 ANSWER 2 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 353757-99-0 REGISTRY  
CN Hydrazinecarboxylic acid, 2-(3,5-dimethylbenzoyl)-2-(1,1-dimethylethyl)-1-(2-ethyl-3-methoxybenzoyl)-, 1-(acetyloxy)ethyl ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C28 H36 N2 O7  
SR CA  
LC STN Files: CA, CAPLUS



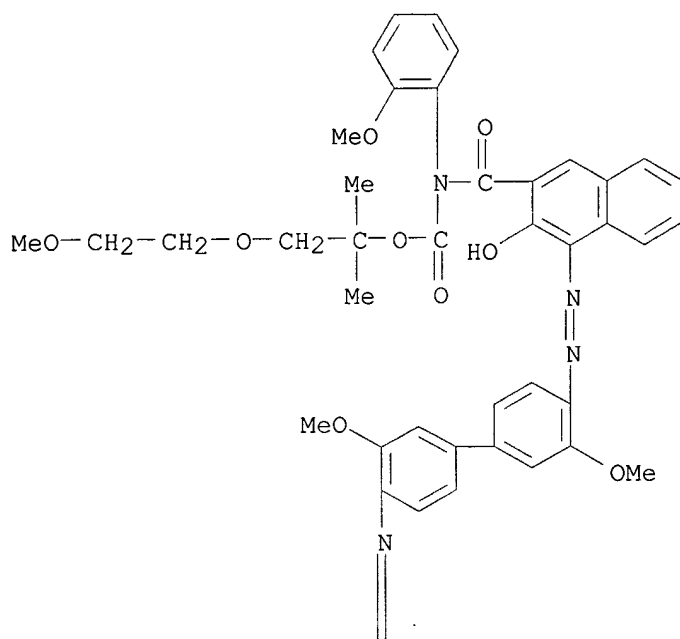
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

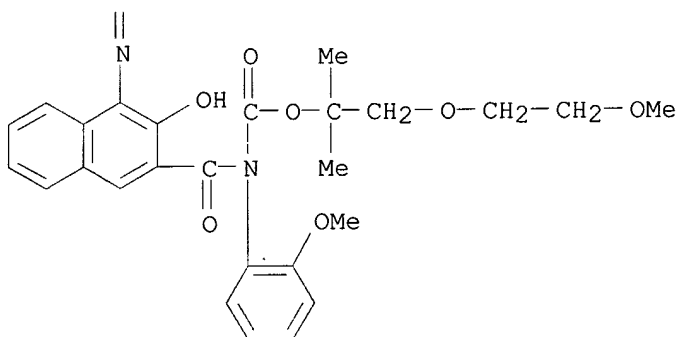
REFERENCE 1: 135:163628

L11 ANSWER 4 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 211322-07-5 REGISTRY  
CN Carbamic acid, [(3,3'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)bis[azo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]bis[(2-methoxyphenyl)-, bis[2-(2-methoxyethoxy)-1,1-dimethylethyl] ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C66 H68 N6 O16  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A



PAGE 2-A

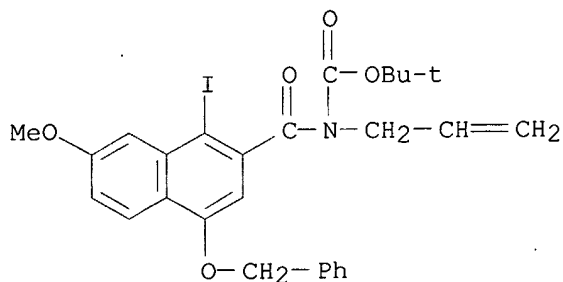


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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:176908

L11 ANSWER 6 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 196306-22-6 REGISTRY  
 CN Carbamic acid, [[1-iodo-7-methoxy-4-(phenylmethoxy)-2-naphthalenyl]carbonyl]-2-propenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C27 H28 I N O5  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



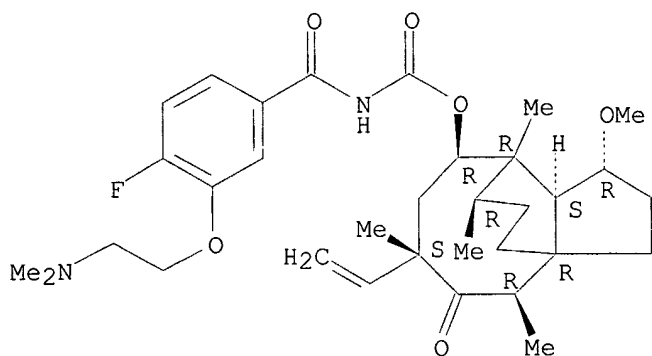
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:262561

L11 ANSWER 12 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 193538-66-8 REGISTRY  
CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-, 6-ethenyldecahydro-1-methoxy-4,6,9,10-tetramethyl-5-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [1R-(1.alpha.,3a.alpha.,4.beta.,6.alpha.,8.beta.,9.alpha.,9a.alpha.,10R\*)]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C33 H47 F N2 O6  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

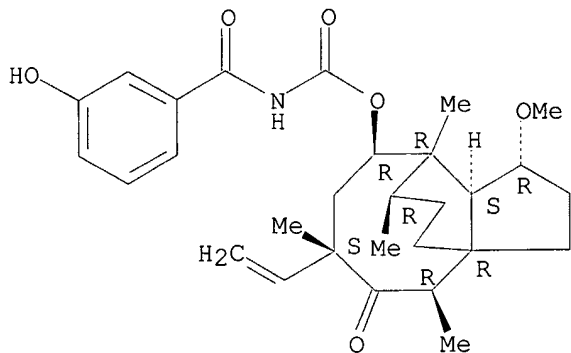
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 19 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 193537-96-1 REGISTRY  
CN Carbamic acid, (3-hydroxybenzoyl)-, 6-ethenyldecahydro-1-methoxy-4,6,9,10-tetramethyl-5-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [1R-(1.alpha.,3a.alpha.,4.beta.,6.alpha.,8.beta.,9.alpha.,9a.alpha.,10R\*)]-

(9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C29 H39 N O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



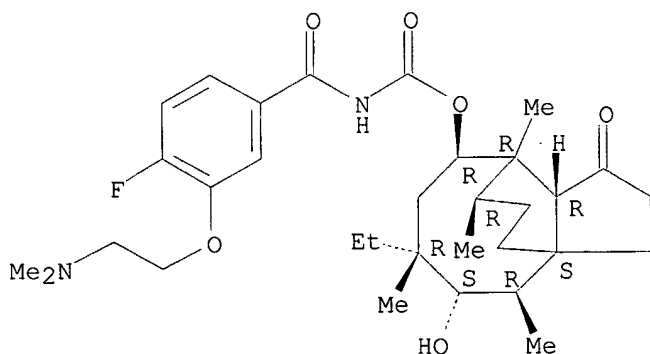
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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 21 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 193536-65-1 REGISTRY  
 CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-,  
 6-ethyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-  
 cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,6.alpha.,  
 8.beta.,9.alpha.,9a.beta.,10S\*)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H47 F N2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

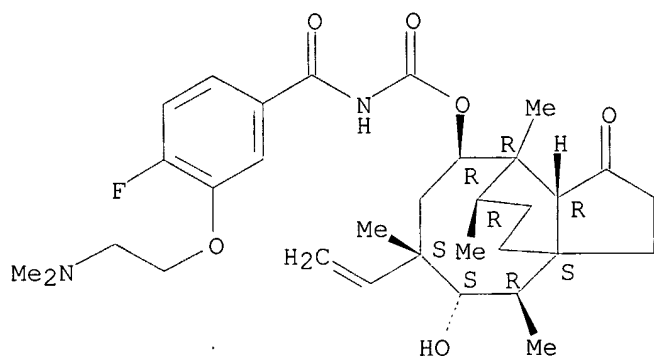
1 REFERENCES IN FILE CA (1907 TO DATE)

## 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 22 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 193536-54-8 REGISTRY  
 CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-, 6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,6.alpha.,8.beta.,9.alpha.,9a.beta.,10S\*)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H45 F N2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



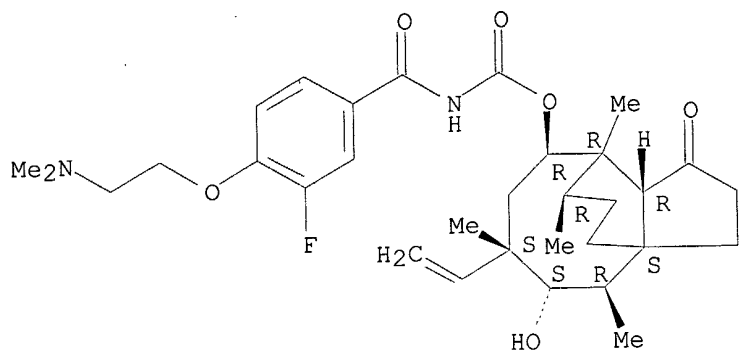
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 23 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 193535-83-0 REGISTRY  
 CN Carbamic acid, [4-[2-(dimethylamino)ethoxy]-3-fluorobenzoyl]-, 6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,6.alpha.,8.beta.,9.alpha.,9a.beta.,10S\*)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H45 F N2 O6  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

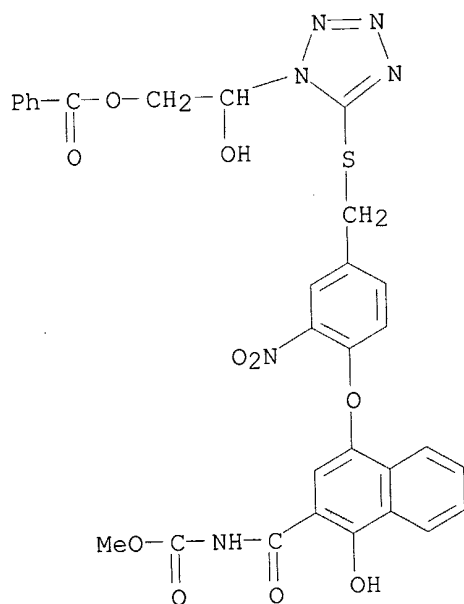


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 28 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 190581-14-7 REGISTRY  
CN Carbamic acid, [[4-[4-[[[1-[2-(benzoyloxy)-1-hydroxyethyl]-1H-tetrazol-5-yl]thio]methyl]-2-nitrophenoxy]-1-hydroxy-2-naphthalenyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C30 H24 N6 O10 S  
SR CA  
LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:42170

L11 ANSWER 29 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 148676-96-4 REGISTRY  
CN Carbamic acid, [[5-[[4,6-dideoxy-4-(methylamino)-3-O-.beta.-D-xylopyranosyl-.beta.-D-galactopyranosyl]oxy]-5,6,8,13-tetrahydro-1,6,9,14-tetrahydroxy-11-methoxy-3-methyl-8,13-dioxobenzo[a]naphthacen-2-yl]carbonyl][2-(dimethylamino)-1-methyl-2-oxoethyl]-, phenylmethyl ester, [5S-[2(S\*),5.alpha.,6.beta.]]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

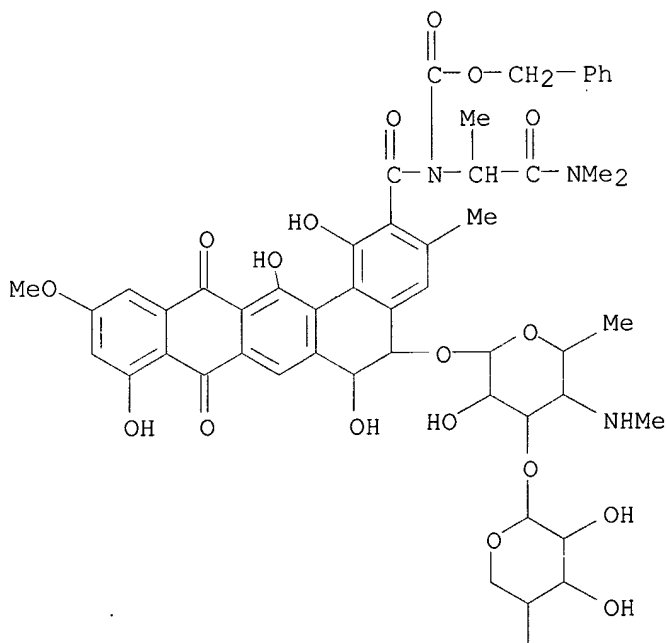
CN Benzo[a]naphthacene, carbamic acid deriv.

MF C50 H55 N3 O19

SR CA

LC STN Files: CA, CAPLUS

PAGE 1-A



PAGE 2-A

OH

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:73091

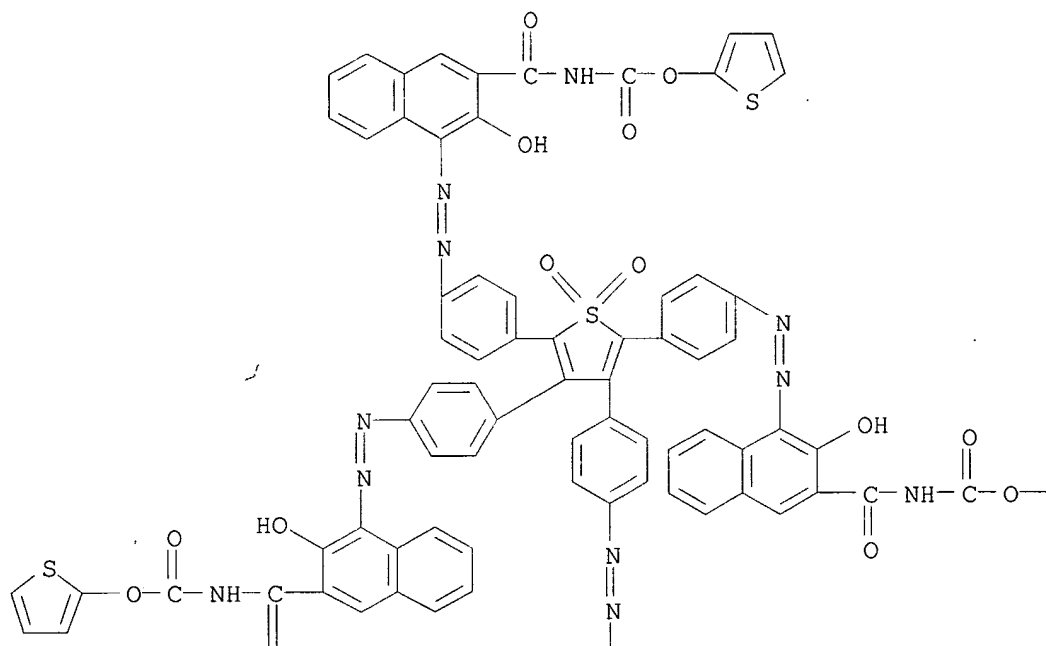
L11 ANSWER 31 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 146173-25-3 REGISTRY  
CN Carbamic acid, [(1,1-dioxido-2,3,4,5-thiophenetetrayl)tetrakis[4,1-

phenyleneazo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]tetrakis-,  
tetra-2-thienyl ester (9CI) (CA INDEX NAME)

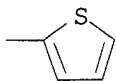
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CN Carbamic acid, [2,3,4,5-thiophenetetrayltetrakis[4,1-phenyleneazo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]tetrakis-, tetra-2-thienyl ester, S,S-dioxide  
MF C92 H56 N12 O18 S5  
SR CA  
LC STN Files: CA, CAPLUS

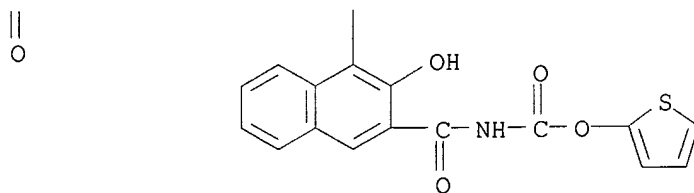
PAGE 1-A



PAGE 1-B



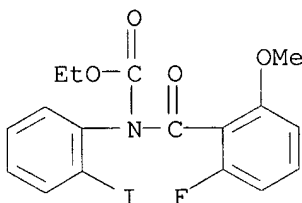
PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:105802

L11 ANSWER 48 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 136138-32-4 REGISTRY  
CN Carbamic acid, (2-fluoro-6-methoxybenzoyl)(2-iodophenyl)-, ethyl ester  
(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C17 H15 F I N O4  
SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS, CHEMINFORMRX  
(\*File contains numerically searchable property data)

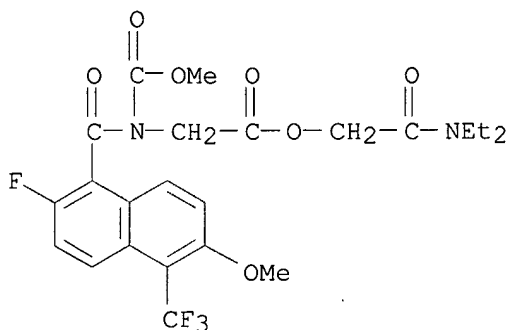


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:159494

L11 ANSWER 50 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 134058-04-1 REGISTRY  
CN Glycine, N-[[2-fluoro-6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-(methoxycarbonyl)-, 2-(diethylamino)-2-oxoethyl  
ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C23 H24 F4 N2 O7  
SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)

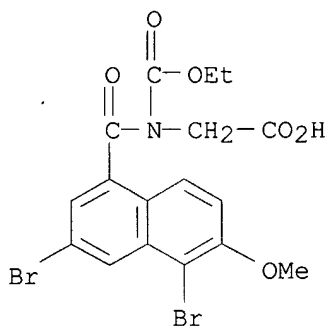


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

L11 ANSWER 52 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 134057-80-0 REGISTRY  
CN Glycine, N-[(3,5-dibromo-6-methoxy-1-naphthalenyl)carbonyl]-N-(ethoxycarbonyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C17 H15 Br2 N O6  
SR CA  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)



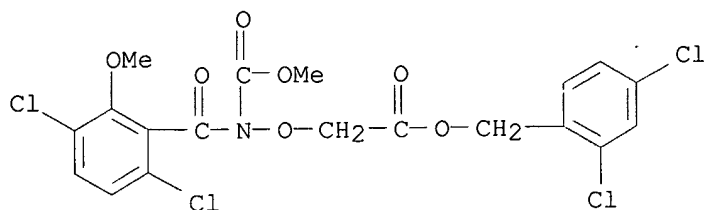
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

L11 ANSWER 53 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 131797-25-6 REGISTRY  
CN Acetic acid, [[(3,6-dichloro-2-methoxybenzoyl)(methoxycarbonyl)amino]oxy]-, (2,4-dichlorophenyl)methyl ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C19 H15 Cl4 N O7  
SR CA

LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 114:81247

L11 ANSWER 54 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 131777-77-0 REGISTRY

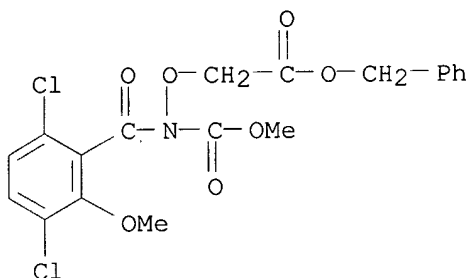
CN Acetic acid, [[(3,6-dichloro-2-methoxybenzoyl)(methoxycarbonyl)amino]oxy]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H17 Cl2 N O7

SR CA

LC STN Files: CA, CAPLUS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 114:81247

L11 ANSWER 60 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 122670-87-5 REGISTRY

CN Glycine, N-[[2-bromo-6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-(ethoxycarbonyl)- (9CI) (CA INDEX NAME)

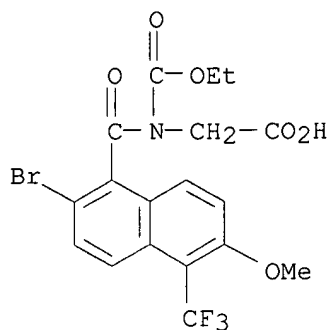
FS 3D CONCORD

MF C18 H15 Br F3 N O6

SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS, USPATFULL

(\*File contains numerically searchable property data)



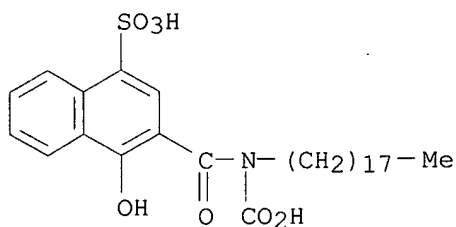
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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

REFERENCE 2: 111:134749

L11 ANSWER 77 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 99468-84-5 REGISTRY  
CN 1-Naphthalenesulfonic acid, 3-[(carboxyoctadecylamino)carbonyl]-4-hydroxy-  
(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C30 H45 N O7 S  
SR CA  
LC STN Files: CA, CAPLUS

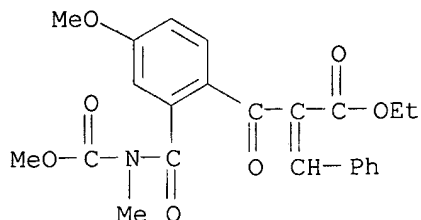


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 104:3120

L11 ANSWER 78 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 82083-60-1 REGISTRY  
CN Benzenepropanoic acid, 4-methoxy-2-[[ (methoxycarbonyl)methylamino]carbonyl  
]-.beta.-oxo-.alpha.-(phenylmethylene)-, ethyl ester (9CI) (CA INDEX  
NAME)  
FS 3D CONCORD  
MF C23 H23 N O7  
LC STN Files: BEILSTEIN\*, CA, CAPLUS  
(\*File contains numerically searchable property data)

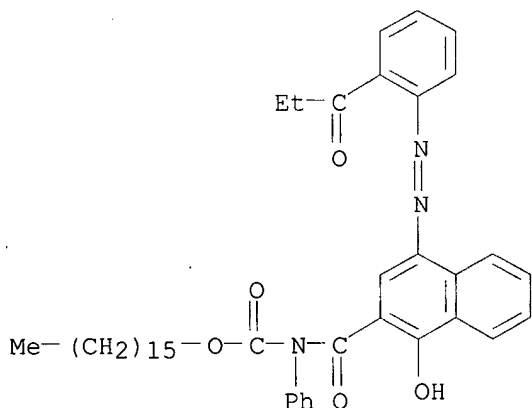


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 97:6594

L11 ANSWER 79 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 62050-96-8 REGISTRY  
CN Carbamic acid, [[1-hydroxy-4-[[2-(1-oxopropyl)phenyl]azo]-2-naphthalenyl]carbonyl]phenyl-, hexadecyl ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C43 H53 N3 O5  
LC STN Files: CA, CAPLUS

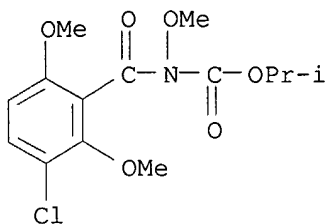


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 86:131050

L11 ANSWER 80 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 36405-56-8 REGISTRY  
CN Carbamic acid, (3-chloro-2,6-dimethoxybenzoyl)methoxy-, 1-methylethyl ester (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN N,2,6-Trimethoxy-N-isopropoxycarbonyl-3-chlorobenzamide  
FS 3D CONCORD  
MF C14 H18 Cl N O6  
LC STN Files: BEILSTEIN\*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL  
(\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 83:114023

REFERENCE 2: 81:3621

REFERENCE 3: 79:39343

REFERENCE 4: 76:153374

L11 ANSWER 81 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 36335-52-1 REGISTRY  
CN Carbamic acid, (3-chloro-2,6-dimethoxybenzoyl)methoxy-, ethyl ester (9CI)  
(CA INDEX NAME)

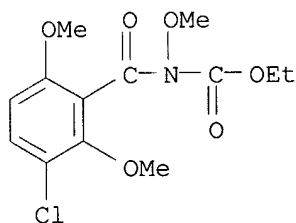
OTHER NAMES:

CN N,2,6-Trimethoxy-N-ethoxycarbonyl-3-chlorobenzamide

FS 3D CONCORD

MF C13 H16 Cl N O6

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 83:114023

REFERENCE 2: 81:3621

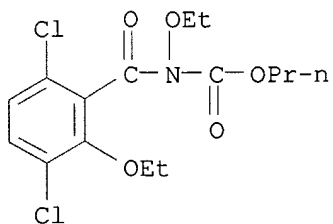
REFERENCE 3: 79:39343

REFERENCE 4: 76:153374

L11 ANSWER 82 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 33605-88-8 REGISTRY



CN Carbamic acid, (3,6-dichloro-2-ethoxybenzoyl)ethoxy-, propyl ester (8CI,  
9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C15 H19 Cl2 N O5  
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL



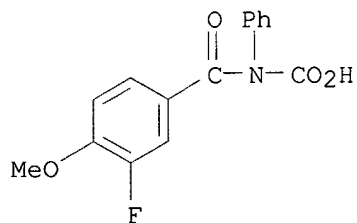
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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 81:169329

REFERENCE 2: 75:110065

L11 ANSWER 85 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 314-66-9 REGISTRY  
CN Carbamic acid, (3-fluoro-4-methoxybenzoyl)phenyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C15 H12 F N O4  
LC STN Files: CAOLD

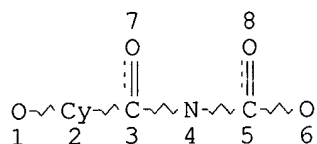


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=&gt; d stat que

L3 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

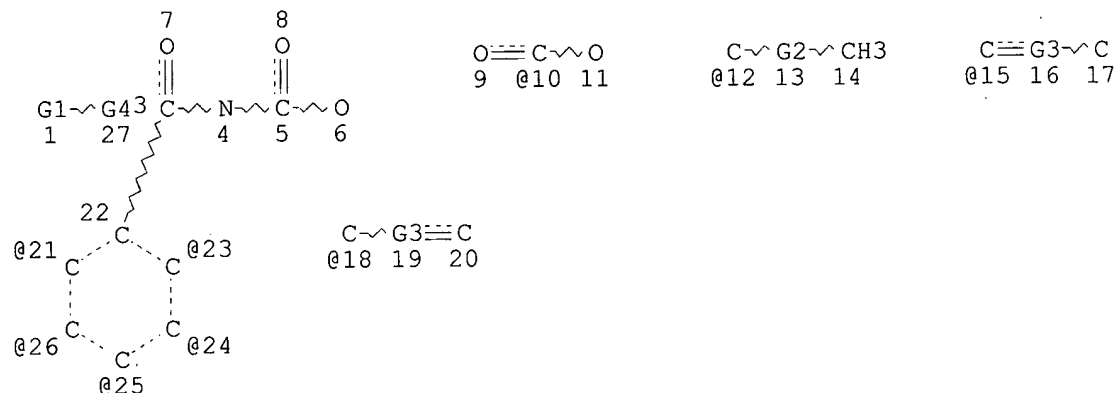
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L9 1293 SEA FILE=REGISTRY SSS FUL L3

L10 STR



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REP G2=(3-3) C

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VAR G4=23/24/25/26/21

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

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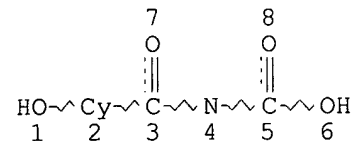
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

L11 85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10

L12 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

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L14 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L13  
L15 84 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L13  
L16 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L15  
L18 1208 SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT L11  
L19 85992 SEA FILE=REGISTRY ABB=ON PLU=ON PEPTIDE OR PEPTIDES  
L21 25228 SEA FILE=REGISTRY ABB=ON PLU=ON HORMONE OR HORMONES OR  
POLYSACCHARIDE OR POLYSACCHARIDES OR MUCOPOLYSACCHARIDE OR  
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OR LIPIDS OR INTERFERON OR INTERFERONS OR INTERLEUKIN OR  
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L22 13723 SEA FILE=REGISTRY ABB=ON PLU=ON HEPARIN OR HEPARINS OR  
CALCITONIN OR ERYTHROPOIETIN? OR ANTIBOD? OR SOMATOSTATIN? OR  
ADRENOCORTICOTROPIN? OR OXYTOCIN? OR VASOPRESSIN? OR CROMOLYN?  
OR VANCOMYCIN? OR DESFERRIOXAMINE? OR ANTIBICROB? OR ANTIFUNG?  
L23 209 SEA FILE=HCAPLUS ABB=ON PLU=ON L18  
L24 536979 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 OR PEPTIDE OR PEPTIDES  
L25 1475315 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 OR HORMONE OR HORMONES OR  
POLYSACCHARIDE OR POLYSACCHARIDES OR MUCOPOLYSACCHARIDE OR  
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OR LIPIDS OR INTERFERON OR INTERFERONS OR INTERLEUKIN OR  
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L26 601173 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 OR HEPARIN OR HEPARINS OR  
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ADRENOCORTICOTROPIN? OR OXYTOCIN? OR VASOPRESSIN? OR CROMOLYN?  
OR VANCOMYCIN? OR DESFERRIOXAMINE? OR ANTIBICROB? OR ANTIFUNG?  
L27 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND (L24 OR L25 OR L26)  
L28 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 NOT (L14 OR L16)

=>  
=>

=> d ibib abs hitrn l28 1-37

L28 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2003:301087 HCAPLUS  
DOCUMENT NUMBER: 138:321579  
TITLE: Reverse-turn mimetics for treatment of cancer  
INVENTOR(S): Kahn, Michael; Eguchi, Masakatsu; Moon, Sung-Hwan;  
Chung, Jae-Uk; Lee, Sung-Chan; Jeong, Kwang-Won  
PATENT ASSIGNEE(S): Choongwae Pharma Corporation, S. Korea  
SOURCE: PCT Int. Appl., 78 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031448	A1	20030417	WO 2002-KR1901	20021011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,				

TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
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 NE, SN, TD, TG

PRIORITY APPLN. INFO.:

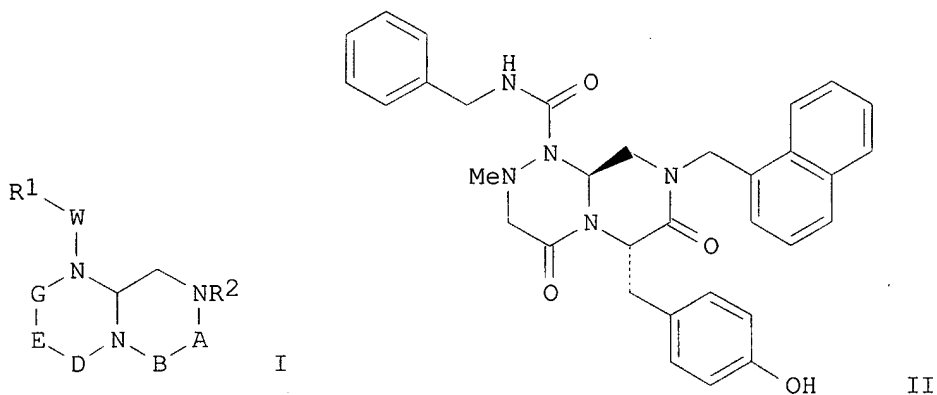
US 2001-976470 A 20011012

US 2002-87443 A 20020301

OTHER SOURCE(S):

MARPAT 138:321579

GI



AB Conformationally constrained compds. I [A is CHR3 or CO; B is CHR4 or CO; D is CHR5 or CO; E is -ZR6- or CO; G is -(XR7)n-, -CHR7NR8-, -CO(XR9)- or CO; W is Y-CO, CONH, SO2 or null; Y is O or S; X, Z are N or CH; n = 0 or 1; R1-R9 are amino acid chains] which mimic the secondary structure of reverse-turn regions of biol. active **peptides** and proteins are disclosed. Such reverse-turn mimetic structures have utility over a wide range of fields, including use as diagnostic and therapeutic agents. Selected library compds. were assayed for oncogenic activity, e.g., triazinone deriv. II showed GI50 = 2.28 and 1.78 .mu.M against SW480 and HCT116 cells, resp.

IT 512853-02-0P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)  
 (reverse-turn mimetics for treatment of cancer)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:897060 HCAPLUS

DOCUMENT NUMBER: 139:90254

TITLE: Development of an injectable formulation of the novel platelet factor receptor antagonist, E5880

AUTHOR(S): Asai, Yasuyuki

CORPORATE SOURCE: Formulation Research Laboratory, Kawashima, Eisai Co., Ltd, Gifu, 501-6195, Japan

SOURCE: Yakuzai (2002), 62(3), 124-131

CODEN: YAKUA2; ISSN: 0372-7629

PUBLISHER: Nippon Yakuzai Gakkai

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB An injectable formulation of E5880, a novel platelet activating factor receptor antagonist, was designated from the study of pH-stability, the

selection of excipient, and the relationship between moisture and stability. The physicochem. properties of E5880 micelles in the optimized formulation (0.6 mg/mL of E5880, 0.1% citric acid, 10% lactose, pH 2.8) were characterized. The crit. micelle concn. of E5880 in the formulation was 0.09 mg/mL, and the structure was spherical. The micellar size was approx. 5 nm and did not change before or after lyophilization and storage. The no. of mols. per micelle was 40. The micropolarity around the hydrocarbon region of the micelle was similar to that of butanol.

IT **128420-61-1**, E5880

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(development of injectable formulation of novel platelet factor receptor antagonist, E5880)

IT **63-42-3**, Lactose

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(development of injectable formulation of novel platelet factor receptor antagonist, E5880)

L28 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:869496 HCAPLUS

DOCUMENT NUMBER: 137:363033

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
PRIORITY APPLN. INFO.:			US 2000-491078	A2 20000124
OTHER SOURCE(S): MARPAT 137:363033				

AB Peptidomimetics of cyclic **peptides**, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic **peptide** that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **60482-96-4**, L-Leucine, L-arginyl-L-prolyl-L-tyrosyl-L-isoleucyl-**137833-31-9**, Myelopeptide 2 **255377-83-4**, Carbamic acid, [(2-oxo-2H-pyran-6-yl)carbonyl]-, phenyl ester

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

IT **475228-48-9 475228-49-0 475228-50-3**

**475228-51-4 475228-52-5 475228-53-6**

**475228-54-7 475228-56-9 475228-57-0**

RL: PRP (Properties)

(unclaimed protein sequence; peptidomimetic modulators of cell adhesion)

IT **110590-64-2**

RL: PRP (Properties)

(unclaimed sequence; peptidomimetic modulators of cell adhesion)

L28 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:293418 HCAPLUS  
 DOCUMENT NUMBER: 136:330549  
 TITLE: Topical antibiotic composition for treatment of eye infection  
 INVENTOR(S): Bandyopadhyay, Rebanta; Secreast, Pamela J.; Hawley, Leslie C.; McCurdy, Vincent E.; Tyle, Praveen; Bandyopadhyay, Paramita; Singh, Satish K.  
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030395	A1	20020418	WO 2001-US31590	20011010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096753	A5	20020422	AU 2001-96753	20011010
EP 1324748	A1	20030709	EP 2001-977651	20011010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-239136P	P 20001010
			US 2001-285340P	P 20010420
			WO 2001-US31590	W 20011010
OTHER SOURCE(S): MARPAT 136:330549				
AB There is provided a pharmaceutical compn. suitable for topical administration to an eye, the compn. comprising as active agent one or more oxazolidinone antibacterial drugs, for example linezolid, in a concn. effective for treatment and/or prophylaxis of a gram-pos. bacterial infection of the eye, and one or more ophthalmically acceptable excipient ingredients that reduce rate of removal of the compn. from the eye by lacrimation such that the compn. has an effective residence time in the eye of about 2 to about 24 h. The compn. is, for example, an in situ gellable soln., suspension or soln./suspension. Formulations contg. a gelling or mucoadhesive agent (xanthan gum, HPMC, poloxamer 407, and polycarbophil) resulted in significant amts. of linezolid being retained in the exterior of treated eyes 1 h or more after application.				
IT 50-23-7, Hydrocortisone 50-28-2, Estradiol, biological studies 1404-90-6, Vancomycin 11138-66-2, Xanthan gum 16110-51-3, Cromolyn 128420-61-1, Minopafant				
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (topical antibiotic compn. for treatment of eye infection)				
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L28 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:71873 HCAPLUS  
 DOCUMENT NUMBER: 136:123671  
 TITLE: Ophthalmic formulation of a selective cyclooxygenase-2

inhibitory drug  
 INVENTOR(S): Kararli, Tugrul T.; Bandyopadhyay, Rebanta; Singh,  
 Satish K.; Hawley, Leslie C.  
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005815	A1	20020124	WO 2001-US22061	20010712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002035264	A1	20020321	US 2001-904098	20010712
EP 1303271	A1	20030423	EP 2001-953462	20010712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-218101P	P 20000713
			US 2001-279285P	P 20010328
			US 2001-294838P	P 20010531
			US 2001-296388P	P 20010606
			WO 2001-US22061	W 20010712
OTHER SOURCE(S): MARPAT 136:123671				
AB A pharmaceutical compn. suitable for topical administration to an eye contains a selective COX-2 inhibitor or nanoparticles of a drug of low water soly., at a concn. effective for the treatment and/or prophylaxis of a disorder in the eye, and 1 or more ophthalmically acceptable excipients that reduce rate of removal from the eye such that the compn. has an effective residence time of 2-24 h. Also provided is a method of treating and/or preventing a disorder in an eye, the method comprising administering to the eye a compn. of the invention. Thus, an ophthalmic nanoparticle suspension contained valdecoxib at 2.15 mg/g, 1.2% glycerin, 0.8% EDTA disodium salt, 4.0% Gelcarin GP-379NF, 0.21% SeaSpem PF and 0.82% Povidone.				
IT 50-23-7, Hydrocortisone 50-28-2, Estradiol, biological studies 1404-90-6, Vancomycin 7585-39-9D, .beta.-Cyclodextrin, hydroxypropyl ethers 9000-07-1, Carrageenan 9012-76-4, Chitosan 11138-66-2, Xanthan gum 16110-51-3, Cromolyn 128420-61-1, Minopafant RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ophthalmic formulation of cyclooxygenase-2 inhibitor pharmaceuticals)				
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L28 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2001:545724 HCAPLUS  
 DOCUMENT NUMBER: 135:147398  
 TITLE: Peptidomimetic modulators of cell adhesion  
 INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni,  
 Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang,  
 Shoameng; Hu, Zengjian  
 PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.  
 SOURCE: PCT Int. Appl., 416 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: English  
 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-491078 A 20000124

OTHER SOURCE(S): MARPAT 135:147398

AB Peptidomimetics of cyclic **peptides**, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic **peptide** that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **60482-96-4 137833-31-9**, Myelopeptide 2  
**255377-83-4**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC. (Process); USES (Uses)  
 (peptidomimetic modulators of cell adhesion)

IT **110590-64-2**

RL: PRP (Properties)  
 (unclaimed sequence; peptidomimetic modulators of cell adhesion)

L28 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:214224 HCAPLUS

DOCUMENT NUMBER: 135:266957

TITLE: Role of Platelet-Activating Factor in Hepatectomy with Pringle's Maneuver

AUTHOR(S): Gu, Mei; Takada, Yasutsugu; Fukunaga, Kiyoshi; Ishiguro, Shingo; Taniguchi, Hideki; Seino, Kenichiro; Yuzawa, Kenji; Otsuka, Masaaki; Todoroki, Takeshi; Fukao, Katashi

CORPORATE SOURCE: Department of Surgery, Institute of Clinical Medicine, University of Tsukuba, Tsukuba City, Ibaraki, 305-8575, Japan

SOURCE: Journal of Surgical Research (2001), 96(2), 233-238  
 CODEN: JSGRA2; ISSN: 0022-4804

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Background. Interruption of hepatic inflow is commonly used to reduce blood loss during extensive liver resection, but may cause liver dysfunction. The present study investigated the effects of platelet-activating factor (PAF) antagonist E5880 on total liver warm ischemia and 70% hepatectomy. Methods. Rabbits were used in this study and were divided into four groups: group 1, those treated with only 70%



hepatectomy; group 2, those treated with only 20 min Pringle's maneuver; group 3, those treated with both Pringle's maneuver and 70% hepatectomy without pretreatment; and group 4, those pretreated with PAF antagonist E5880 (0.3 mg/kg) followed by Pringle's maneuver and 70% hepatectomy. The remnant liver function was then evaluated after reperfusion. Results. Seven-day survival rates in both groups 1 and 2 were 100%. E5880 treatment significantly increased 7-day survival rate (group 4: 38% vs. group 3: 0%,  $P < 0.05$ ) after a combination of Pringle's maneuver and 70% hepatectomy. The elevations of serum liver enzymes (GOT, GPT, mGOT, and LDH) were significantly inhibited in group 4 at 1 and 4 h after reperfusion. Portal venous pressure and the energy charge of liver were also significantly improved in group 4, compared with those in group 3. Endothelin-1 levels of arterial and portal venous blood progressively increased after reperfusion; however, there were no significant differences between the two groups. Leukocyte infiltration into the liver was significantly inhibited in group 4. Conclusion. E5880 pretreatment has protective effects on liver function after 70% hepatectomy with Pringle's maneuver in rabbits. (c) 2001 Academic Press.

IT 65154-06-5, Platelet-activating factor

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
(role of platelet-activating factor in hepatectomy with Pringle's maneuver)

IT 128420-61-1, E5880

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(role of platelet-activating factor in hepatectomy with Pringle's maneuver)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:167792 HCAPLUS

DOCUMENT NUMBER: 134:227363

TITLE: Topical use of kappa opioid agonists to treat otic pain

INVENTOR(S): Gamache, Daniel A.; Yanni, John M.

PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015678	A2	20010308	WO 2000-US22766	20000818
WO 2001015678	A3	20020103		

W: AU, BR, CA, CN, JP, MX, PL, TR, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1999-387359 A 19990831

AB Topical or intranasal compns. and methods for treating otic pain caused by otitis, surgery, or swimmer's ear are disclosed. In particular, the invention discloses compns. and methods of using .kappa.-opioid agonists locally for the prevention or alleviation of otic pain. Compns. also comprise antimicrobial, antiallergy, and anti-inflammatory agents to treat otic infections, allergies, and inflammations assocd. with otic pain. For example, an otic/nasal soln. contained (by wt.) a .kappa.-opioid EMD-61753 0.01-1.0%, phosphate buffered saline 1.0%, Polysorbate 80 0.5%, and water up to 100%.

IT 65154-06-5, Platelet-activating factor

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(antagonists; topical compns. contg. .kappa.-opioid agonists for  
treatment of otic pain)

IT 1404-90-6, **Vancomycin 16110-51-3**,

**Cromolyn 128420-61-1**, Minopafant

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(topical compns. contg. .kappa.-opioid agonists for treatment of otic  
pain)

L28 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:167791 HCAPLUS

DOCUMENT NUMBER: 134:227362

TITLE: Use of 5-HT1B/1D agonists to treat otic pain

INVENTOR(S): Gamache, Daniel A.; Yanni, John M.; Sharif, Najam A.

PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015677	A2	20010308	WO 2000-US22764	20000818
WO 2001015677	A3	20020328		
W:	AU, BR, CA, CN, JP, MX, PL, TR, US, ZA			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			

PRIORITY APPLN. INFO.: US 1999-387358 A 19990831

AB Topical otic or intranasal compns. and methods for treating otic pain  
caused by otitis, surgery, or swimmer's ear are disclosed. In particular,  
the invention discloses compns. and methods of using 5-HT1B/1D agonists  
for the prevention or alleviation of otic pain. Compns. also comprise an  
antimicrobial, antiallergy, and anti-inflammatory agent to treat otic  
infections, allergies, and inflammations assocd. with otic pain. For  
example, an otic/nasal soln. contained CGS-12066A 0.01-1.0%, phosphate  
buffered saline 1.0%, Polysorbate 80 0.5%, and water up to 100%  
(wt./vol.), resp.

IT 65154-06-5, PAF

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(antagonists; topical compns. of 5-HT1B/1D agonists for treatment of  
otic pain)

IT 1404-90-6, **Vancomycin 7585-39-9D**,

.beta.-Cyclodextrin, ethers with propanediol 9004-62-0,

Hydroxyethyl cellulose 16110-51-3, **Cromolyn**

**128420-61-1**, Minopafant

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(topical compns. of 5-HT1B/1D agonists for treatment of otic pain)

L28 ANSWER 10 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:771045 HCAPLUS

DOCUMENT NUMBER: 134:275524

TITLE: Pharmacologic graft protection without donor  
pretreatment in liver transplantation from  
non-heart-beating donors

AUTHOR(S): Gu, Mei; Takada, Yasutsugu; Fukunaga, Kiyoshi;  
Ishiguro, Shingo; Taniguchi, Hideki; Seino, Kenichiro;  
Yuzawa, Kenji; Otsuka, Masaaki; Todoroki, Takeshi;  
Fukao, Katashi

CORPORATE SOURCE: Department of Surgery, Institute of Clinical Medicine,  
University of Tsukuba, Ibaraki, 305-8575, Japan

SOURCE: Transplantation (2000), 70(7), 1021-1025

PUBLISHER: CODEN: TRPLAU; ISSN: 0041-1337  
 Lippincott Williams & Wilkins  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Non-heart-beating donors (NHBDs) are considered potential sources of transplant organs in an effort to alleviate the problem of donor shortage in clin. liver transplantation. The authors investigated the possibility of pharmacol. protection of hepatic allograft function from NHBDs without donor pretreatment. Orthotopic liver transplantation was performed using swine. In donors, cardiac arrest was induced by stopping the respirator. 45 Min after cessation of the respirator, the liver was flushed with cold lactated Ringer's soln. including **heparin** and with the University of Wisconsin (UW) soln., and then preserved for 8 h at 4.degree.C in the UW soln. The swine were divided into 2 groups: a control group and a treated group. In the treated group, an endothelin antagonist TAK-044 was added to the UW solns. (10 mg/L), and TAK-044 (10 mg/kg body wt.) and a platelet activating factor antagonist E5880 (0.3 mg/kg body wt.) were also administered to the recipients. TAK-044 and E5880 treatment significantly increased the 7-day survival rate of the recipients (100% vs. 17%,  $P < 0.05$ ). In the treated group, portal venous pressure immediately after reperfusion of the graft was significantly lower than in the control group, and postoperative increase in serum concns. of glutamic oxaloacetic transaminase and total bilirubin was attenuated. Moreover, the energy charge and ATP concn. of the liver were rapidly restored after reperfusion. Pharmacol. modulation with TAK-044 and E5880 avoiding donor pretreatment can improve the viability of hepatic allografts procured from NHBDs.

IT 128420-61-1, E5880 157380-72-8, TAK-044

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. graft protection without donor pretreatment in liver transplantation)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:144900 HCAPLUS

DOCUMENT NUMBER: 132:194661

TITLE: Preparation of ring modified cyclic **peptide** analogs as **antifungal** agents

INVENTOR(S): Borromeo, Peter Stanley; Cohen, Jeffrey Daniel; Gregory, George Stuart; Henle, Stacy Kay; Hitchcock, Stephen Andrew; Jungheim, Louis Nickolaus; Mayhugh, Daniel Ray; Shepherd, Timothy Alan; Turner, William Wilson, Jr.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011023	A2	20000302	WO 1999-US18908	19990818
WO 2000011023	A3	20000615		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2340676 AA 20000302 CA 1999-2340676 19990818  
 AU 9955726 A1 20000314 AU 1999-55726 19990818  
 EP 1107981 A2 20010620 EP 1999-942321 19990818  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002528388 T2 20020903 JP 2000-566295 19990818  
 PRIORITY APPLN. INFO.: US 1998-97228P P 19980820  
 WO 1999-US18908 W 19990818  
 OTHER SOURCE(S): MARPAT 132:194661  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A method is provided for modifying the cyclic **peptide** ring system of echinocandin-type compds. to produce new analogs, e.g., I (R = alkyl, alkenyl, alkynyl, aryl, heteroaryl; R1, R4 = H, OH; R2 = H, Me; R3 = H, Me, CH2CONH2, CH2, CH2NH2; R5 = OH, OPO3H2, OSO3H; R6 = H, OSO3H), having **antifungal** activity. The process comprises opening the cyclic **peptide** ring, cleaving the terminal ornithine unit, inserting at least one new amino acid or other synthetic unit and closing the ring to produce a new cyclic **peptide** ring structure. Thus, cyclic **peptide** II [R = p-(pentyloxy)-p-terphenyl] was prepd. and showed min. inhibitory concns. 0.005-0.156 .mu.g/mL against four fungi.

IT **259825-46-2P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of ring modified cyclic **peptide** analogs as **antifungal** agents)

IT **79404-91-4**, Cilofungin  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of ring modified cyclic **peptide** analogs as **antifungal** agents)

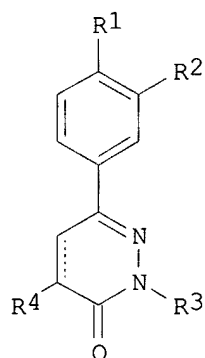
IT **259824-70-9P 259824-73-2P 259824-82-3P**  
**259825-05-3P 259825-11-1P 259825-12-2P**  
**259825-24-6P 259825-25-7P 259825-33-7P**  
**259825-39-3P 259825-54-2P 259825-61-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of ring modified cyclic **peptide** analogs as **antifungal** agents)

L28 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:576914 HCAPLUS  
 DOCUMENT NUMBER: 131:228727  
 TITLE: Preparation of pyridazine derivatives as **interleukin 1.beta.** production inhibitors  
 INVENTOR(S): Ohkuchi, Masao; Kyotani, Yoshinori; Shigyo, Hiromichi; Yoshizaki, Hideo; Koshi, Tomoyuki; Kitamura, Takahiro; Matsuda, Takayuki; Oda, Soichi; Habata, Yuriko; Kotaki, Kyoko  
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; et al.  
 SOURCE: PCT Int. Appl., 112 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944995	A1	19990910	WO 1999-JP925	19990226
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2321254	AA	19990910	CA 1999-2321254	19990226
AU 9926414	A1	19990920	AU 1999-26414	19990226
AU 739431	B2	20011011		
EP 1061077	A1	20001220	EP 1999-906509	19990226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
NZ 506144	A	20011130	NZ 1999-506144	19990226
US 6403586	B1	20020611	US 2000-622897	20000831
NO 2000004353	A	20000901	NO 2000-4353	20000901
PRIORITY APPLN. INFO.:			JP 1998-49396	A 19980302
			WO 1999-JP925	W 19990226

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I

AB The title compds. I [R1 represents lower alkoxy, lower alkylthio or halogeno; R2 represents H, lower alkoxy, lower alkylthio or halogeno; R3 represents OH, CN, halogeno, lower cycloalkyl, lower alkyl or lower alkenyl optionally substituted by an optionally substituted arom. group or optionally substituted carbamoyl; R4 represents COOH, lower alkoxy carbonyl, optionally substituted carbamoyl, optionally substituted amino or optionally substituted ureido; and the dotted line means a single bond or a double bond between the carbon atoms at the 4- and 5-positions] are prepd. I are useful as preventives/remedies for immunol. diseases, inflammatory diseases, ischemic diseases, etc. In an in vitro test using cells, 2-cyclopropylmethyl-6-(4-methoxyphenyl)-4-methylcarbamoyl-2H-pyridazin-3-one showed IC50 of 0.038 .mu.M against lipopolysaccharide-induced **interleukin 1 .beta.** prodn.

IT **243862-55-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridazine derivs. as **interleukin 1 .beta.** prodn.)

inhibitors)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:198291 HCAPLUS

DOCUMENT NUMBER: 131:13296

TITLE: Quantitative determination of E5880 in rat plasma by high-performance liquid chromatography/electrospray ionization tandem mass spectrometry

AUTHOR(S): Kikuchi, Kiyomi; Sano, Yoshihisa; Taniguchi, Sachie; Matsui, Kenji; Namiki, Masayuki; Ito, Hatsue; Sakurai, Hideki; Yoshimura, Tsutomu

CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co. Ltd., Tsukuba, 300-2635, Japan

SOURCE: Journal of Mass Spectrometry (1999), 34(2), 93-97  
CODEN: JMSPFJ; ISSN: 1076-5174

PUBLISHER: John Wiley &amp; Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple and sensitive method is described for the detn. of E5880 in rat plasma. The method is based on high-performance liq. chromatog./electrospray ionization mass spectrometry, using deuterated E5880 as an internal std. Selected reaction monitoring is employed for selectivity and sensitivity, this in turn enables quantification in a short period of time (within 7 min) over the extended range of 0.1-1000 ng/mL with acceptable precision and accuracy. The method demonstrated to be suitable for the quant. anal. of E5880 in rat plasma. The pharmacokinetic profile of E5880 after a single i.v. administration of E5880 was elucidated.

IT 128420-61-1, E5880

RL: ANT (Analyte); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatog./electrospray ionization tandem mass spectrometry)

IT 226087-56-5

RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatog./electrospray ionization tandem mass spectrometry)

IT 65154-06-5, Blood platelet-activating factor

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatog./electrospray ionization tandem mass spectrometry)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:64781 HCAPLUS

DOCUMENT NUMBER: 130:125100

TITLE: Preparation of 6-azauracil derivatives as IL-5 biosynthesis inhibitors

INVENTOR(S): Freyne, Eddy Jean Edgard; Boeckx, Gustaaf Maria; Van Wauwe, Jean Pierre Frans; Diels, Gaston Stanislas Marcella

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

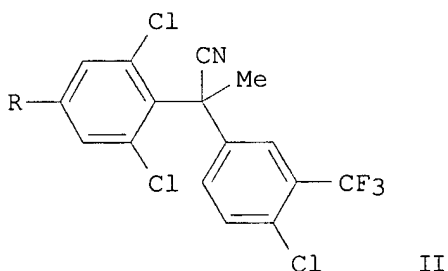
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9902504	A1	19990121	WO 1998-EP4192	19980702
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9884413	A1	19990208	AU 1998-84413	19980702
AU 756637	B2	20030116		
EP 1003729	A1	20000531	EP 1998-935022	19980702
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
EE 9900585	A	20000815	EE 1999-585	19980702
BR 9811499	A	20000919	BR 1998-11499	19980702
NZ 501627	A	20020201	NZ 1998-501627	19980702
JP 2002508001	T2	20020312	JP 1999-508127	19980702
ZA 9806095	A	20000110	ZA 1998-6095	19980709
NO 2000000094	A	20000308	NO 2000-94	20000107
US 2002042416	A1	20020411	US 2001-855068	20010514
PRIORITY APPLN. INFO.:			EP 1997-202117	A 19970710
			WO 1998-EP4192	W 19980702
			US 2000-462323	B1 20000105
OTHER SOURCE(S):	MARPAT 130:125100			
GI				



AB RZCR1R2R3 [I; R = 3,5-dioxo-1,2,4-triazin-2(3H)-yl; R1 = H, alkyl, alkoxy, (hetero)aryl, etc.; R2 = cyano or C(:X)YR5; R3 = (un)substituted Ph; R5 = H, (ar)alkyl, (hetero)aryl, etc.; X = O or S; Y = bond, O, S, NR6; R6 = H, alkyl(oxy), aralkyl; Z = (un)substituted phenylene] were prepd. Thus, 4,3-Cl(F3C)C6H3CH2CN was arylated by 1,2,3-trichloro-5-nitrobenzene and the .alpha.-methylated product reduced to give methylphenylbenzeneacetonitrile II (R = NH2) which was diazotized and the product condensed with NCCH2CONHCO2Et to give II [R = NHN:C(CN)CONHCO2Et]. The latter was cyclized and the product converted in 2 steps to II [R = 3,5-dioxo-1,2,4-triazin-2(3H)-yl]. Data for biol. activity of I were given.

IT 219909-76-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 6-azauracil derivs. as IL-5 biosynthesis inhibitors)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:787765 HCAPLUS

DOCUMENT NUMBER: 130:191586

TITLE: Energy metabolism of hepatic allografts subjected to prolonged warm ischemia and pharmacologic modulation with FK506 and platelet activating factor antagonist

AUTHOR(S): Takada, Y.; Fukunaga, K.; Taniguchi, H.; Yuzawa, K.; Otsuka, M.; Fukao, K.

CORPORATE SOURCE: Department of Surgery, Institute of Clinical Medicine, Tsukuba University, Tsukuba, 305, Japan

SOURCE: Transplantation Proceedings (1998), 30(7), 3694-3695  
CODEN: TRPPA8; ISSN: 0041-1345

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To investigate the possibility of pharmacol. modulation of hepatic allograft function from graft procurement from non-heart-beating donors (NHBD), the effects of treatment with FK506 and a platelet activating factor (PAF) antagonist were evaluated in relation to changes in hepatic adenine nucleotide metab. in porcine orthotopic liver transplantation (LTx). The present study suggests that FK506 and the PAF antagonist E5880 can improve the function of grafts subjected to prolonged warm ischemia in NHBD, and that the protective effect of FK506 is time dependent. Although the exact mechanism has yet to be clarified, the protective effects of the two drugs are synergistic, and combined treatment with these agents has the most beneficial effect on graft function, indicating a potential for use in clin. LTx from NHBD.

IT 128420-61-1, E5880

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(energy metab. of hepatic allografts subjected to prolonged warm ischemia and pharmacol. modulation with FK506 and platelet activating factor antagonist)

IT 65154-06-5, Platelet-activating factor

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(energy metab. of hepatic allografts subjected to prolonged warm ischemia and pharmacol. modulation with FK506 and platelet activating factor antagonist)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:35982 HCAPLUS

DOCUMENT NUMBER: 126:157369

TITLE: Design, synthesis and bioactivities of heterocyclic lipids as platelet activating factor antagonists

AUTHOR(S): Chung, Sung-Kee; Ban, Su Ho; Kim, Si Hwan; Woo, Soon Hyung

CORPORATE SOURCE: Dep. Chem., Pohang Univ. Sch. Technol. Res. Inst. Ind. Sci. Technol., Pohang, 790-784, S. Korea

SOURCE: Korean Journal of Medicinal Chemistry (1996), 6(2), 294-302

CODEN: KJMCE7; ISSN: 1225-0058

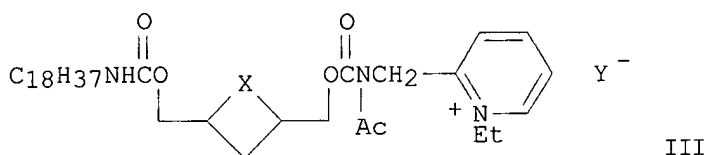
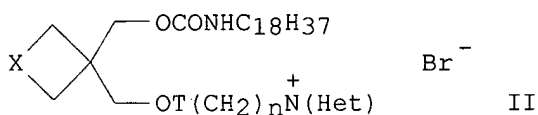
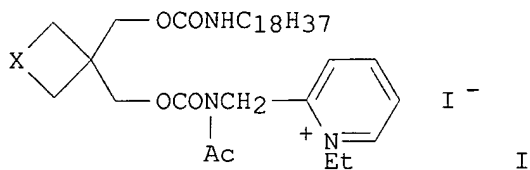
PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI





AB Title compds. such as I [X = (CH<sub>2</sub>)<sub>n</sub>, n = 1-4; O, AcN], II [X = CH<sub>2</sub>CH<sub>2</sub>, O, S; T = CO; n = 4, 5; N(Het) = pyridine, thiazole, quinoline], and III (X = CH<sub>2</sub>, O, S, NAc, NBz; Y = Cl, I) were prepd. and tested for their ability to displace [3H]-PAF from its receptor in rabbit platelet membranes and to inhibit PAF-induced aggregation of rabbit platelets.

IT **156719-77-6P 156719-78-7P 156719-80-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(heterocyclic **lipids** as platelet activating factor antagonists)

IT **65154-06-5**, Blood platelet-activating factor

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(heterocyclic **lipids** as platelet activating factor antagonists)

L28 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:316586 HCAPLUS

DOCUMENT NUMBER: 125:48744

TITLE: Prevention of cerebrovasospasm following subarachnoid hemorrhage in rabbits by the platelet-activating factor antagonist, E5880

AUTHOR(S): Hirashima, Yutaka; Endo, Shunro; Kato, Ryoko; Takaku, Akira

CORPORATE SOURCE: Department Neurosurgery, Toyama Medical and Pharmaceutical University, Toyama, Japan

SOURCE: Journal of Neurosurgery (1996), 84(5), 826-830  
CODEN: JONSAC; ISSN: 0022-3085

PUBLISHER: American Association of Neurological Surgeons

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Recently, an important role of platelet-activating factor (PAF), an inflammation mediator, has been demonstrated in the genesis of cerebral vasospasm following subarachnoid hemorrhage (SAH). In the current study, the authors examd. whether i.v. administration of the novel PAF antagonist, E5880, can prevent vasospasm following SAH in rabbits. A vasospasm model was produced in three groups of rabbits using two

subarachnoid injections of autologous arterial blood, followed by i.v. administration of distd. water (control), a low dose of E5880 (0.1 mg/kg in distd. water), or a high dose of E5880 (0.5 mg/kg in distd. water). Neurol. deterioration was largely prevented in the rabbits that received E5880. Basilar artery constriction was also reduced by both doses of E5880. Histol. examn. at autopsy predominantly showed ischemic changes in the brain. Animals in each E5880-treated group exhibited ischemic changes less frequently than those in the control group. Plasma thromboxane B2 concns. were reduced in rabbits treated with E5880. Platelet-activating factor was immunolocalized in the intima and media of the basilar artery in the control group. The PAF immunoreactivity demonstrated in the basilar artery was decreased in the E5880 groups in a dose-dependent manner. Thus, this study provides evidence that PAF may play a role in the pathogenesis of vasospasm after SAH and that i.v. administration of E5880 is a promising approach in preventing vasospasm.

IT **65154-06-5**, Platelet-activating factor

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (prevention of cerebrovasospasm following subarachnoid hemorrhage in rabbits by platelet-activating factor antagonist E5880 in relation to plasma thromboxane B2 concns.)

IT **128420-61-1**, E5880

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prevention of cerebrovasospasm following subarachnoid hemorrhage in rabbits by platelet-activating factor antagonist E5880 in relation to plasma thromboxane B2 concns.)

L28 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:22564 HCAPLUS

DOCUMENT NUMBER: 124:202845

TITLE: Heterocyclic **lipids** with PAF antagonist activities 5. Synthesis of 2,4-bis(hydroxymethyl)-thietane and -azetidene derivatives

AUTHOR(S): Chung, Sung-Kee; Ban, Su Ho; Kim, Si Hwan; Woo, Soon Hyung

CORPORATE SOURCE: Dep. of Chemistry, Pohang Univ. of Science and Technology, Pohang, 790-784, S. Korea

SOURCE: Korean Journal of Medicinal Chemistry (1995), 5(2), 112-24

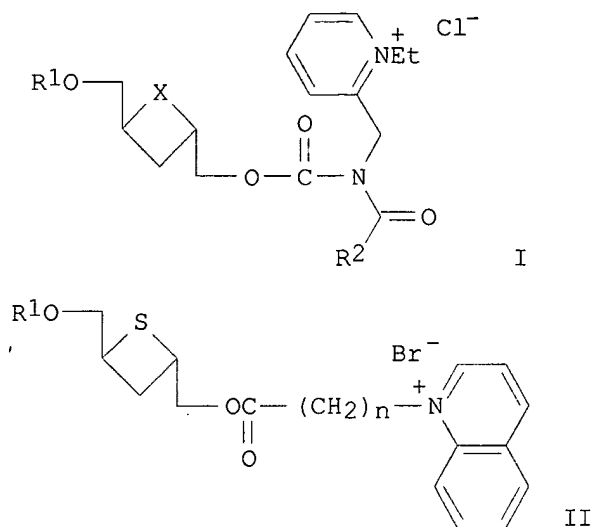
CODEN: KJMCE7; ISSN: 1225-0058

PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Conformationally constrained analogs of platelet activating factor incorporating a lipophile and a pyridine-like heterocycle coupled to core groups such as 2,4-bis(hydroxymethyl)thietanes I [X = S] and II and -azetidines I [X = NR, R = Ac, Bz, CH<sub>2</sub>Ph] through hydrogen bond accepting linkages such as ether and carbamate have been synthesized as potent PAF receptor antagonists.

IT **65154-06-5**, Platelet activating factor  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (antagonist; prepn. of heterocyclic **lipids** with PAF antagonist activities)

IT **156720-40-0P 156720-41-1P 156720-45-5P 156720-74-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of heterocyclic **lipids** with PAF antagonist activities)

IT **156719-77-6P 156719-78-7P 156719-80-1P 156719-93-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of heterocyclic **lipids** with PAF antagonist activities)

L28 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:12197 HCAPLUS

DOCUMENT NUMBER: 124:203052

TITLE: New methods for solid phase **peptide** synthesis of transition-state analog inhibitors of HIV-1 protease and DPP-IV

AUTHOR(S): Piron, Jan; Tourwe, Dirk

CORPORATE SOURCE: Org. Chem., Free Univ. Brussels, Brussels, B-1050, Belg.

SOURCE: Letters in Peptide Science (1995), 2(3/4), 229-32  
 CODEN: LPSCEM; ISSN: 0929-5666

PUBLISHER: ESCOM

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new and stereoselective method to synthesize hydroxyethylamine and hydroxymethylamide **peptide** bond isosteres is developed. The key step is the addn. of 2-trimethylsilylthiazole to .alpha.-amino aldehydes,

followed by transformation to .alpha.-hydroxy-.beta.-amino aldehydes. The stereochem. of the addn. can be manipulated by the choice of the nitrogen substitution. The isosteres are easily synthesized via solid-phase **peptide** synthesis, which rapidly gives the desired pseudopeptides.

IT 174147-83-2P 174147-84-3P 174147-85-4P  
174290-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase prepn. of hydroxyethylamine and hydroxymethylamide transition-state analog protease inhibitors)

L28 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:598395 HCAPLUS

DOCUMENT NUMBER: 123:314308

TITLE: Synthesis and bioactivities of heterocyclic **lipids** as PAF antagonists. 2

AUTHOR(S): Chung, S. K.; Ban, S. H.; Kim, S. H.; Kim, B. E.; Woo, S. H.

CORPORATE SOURCE: Dep. Chemistry, Pohang Univ. Science Technolog, Pohang, 790-784, S. Korea

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(10), 1097-102

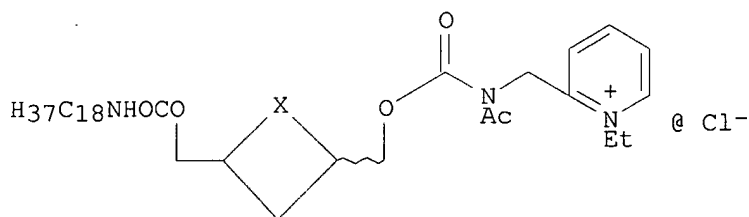
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Conformationally constrained analogs of platelet activating factor (PAF) incorporating a lipophile and a pyridine-like heterocycle linked to core groups such as 1,1-bis(hydroxymethyl)cyclobutane and 2,4-bis(hydroxymethyl)-oxetane, -thietane and -azetidene skeletons, e.g. I (X = O, S, NAc, NBz), via hydrogen bond acceptors such as ether and/or carbamate have been synthesized, and their in vitro and in vivo bioactivities have indicated potent and selective PAF antagonism.

IT 65154-06-5, Platelet activating factor

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis and bioactivities of heterocyclic **lipids** as platelet activating factor antagonists)

IT 156719-77-6P 156719-78-7P 156719-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis and bioactivities of heterocyclic **lipids** as platelet activating factor antagonists)

L28 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:387277 HCAPLUS

DOCUMENT NUMBER: 122:182582

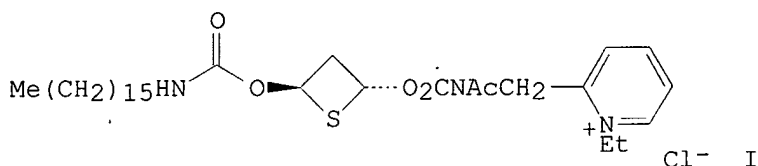
TITLE: Effects of platelet-activating factor antagonist on preservation/reperfusion injury of the graft in

AUTHOR(S): porcine orthotopic liver transplantation  
 Takada, Yasutsugu; Boudjema, Karim; Jaeck, Daniel;  
 Bel-Haouari, Mohammed; Doghmi, Mustapha; Chenard,  
 Marie-Pierre; Wolf, Philippe; Cinqualbre, Jacques  
 CORPORATE SOURCE: Laboratoire de Chirurgie Experimentale, Fondation  
 Transplantation, Strasbourg, 67200, Fr.  
 SOURCE: Transplantation (1995), 59(1), 10-16  
 CODEN: TRPLAU; ISSN: 0041-1337  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB To investigate the role of platelet-activating factor (PAF) in the  
 preservation/reperfusion injury of the liver graft, the effect of  
 treatment with a potent PAF antagonist (E5880) was evaluated in a pig  
 orthotopic liver transplantation model. The graft liver was flushed out  
 and preserved for 8 h at 4.degree. using a simplified Univ. of Wisconsin  
 (UW) soln. The PAF antagonist was administered into the UW soln. (1  
 mg/L), into the rinsing soln. (1 mg/L), and to a recipient pig (0.3 mg/kg  
 d.i.v.) in group 1. The PAF antagonist was not given in the control group  
 (group 2). Postoperative survival of >12 h was 100% (9/9) in group 1 and  
 56% (5/9) in group 2. At 12 h after reperfusion of the graft (RPF), the  
 arterial ketone body ratio (acetoacetate to 3-hydroxybutyrate) increased  
 to 1.54 in group 1, compared with 0.95 in group 2. In group 2, blood  
 leukocyte count decreased to 8.3 .times. 10<sup>3</sup>/mm<sup>3</sup> at 2 h after RPF, in  
 contrast to a slight increase in group 1 (14.3 .times. 10<sup>3</sup>/mm<sup>3</sup>). At 4 h  
 after RPF, glutamic oxaloacetic transaminase (461 vs. 712 U/L), glutamic  
 pyruvic transaminase (65 vs. 82 U/L), and the lactate level (6.2 vs. 9.4  
 mmol/L) in arterial blood were significantly lower in group 1 than in  
 group 2. Light and electron microscopic study at 1 h after RPF showed  
 neutrophil sludging in the sinusoids and sinusoidal endothelial cell  
 damage in group 2, while these findings were attenuated in group 1. It is  
 suggested that PAF plays a key role in microcirculatory disturbance of the  
 liver graft manifested on reperfusion, and that the treatment with E5880  
 has a protective effect against preservation/reperfusion injury of the  
 graft in liver transplantation.  
 IT 65154-06-5, Platelet-activating factor 128420-61-1,  
 E5880  
 RL: BUU (Biological use, unclassified); BIOL (Biological study); USES  
 (Uses)  
 (platelet-activating factor antagonist effects on  
 preservation/reperfusion injury in liver transplantation)

L28 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1994:533939 HCAPLUS  
 DOCUMENT NUMBER: 121:133939  
 TITLE: Preparation of bis(carbamoyloxymethyl)thietanes and  
 analogs as PAF antagonists  
 INVENTOR(S): Woo, Soon Hyung; Chung, Sung Kee; Ban, Soo Ho; Kim, Si  
 Hwan  
 PATENT ASSIGNEE(S): Pohang Iron and Steel Co., Ltd., S. Korea; Research  
 Institute of Industrial Science and Technology  
 SOURCE: PCT Int. Appl., 119 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400447	A1	19940106	WO 1993-KR53	19930630
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 9513770	B1	19951115	KR 1992-11554	19920630

KR 9615088 B1 19961024 KR 1993-5778 19930407  
 EP 607374 A1 19940727 EP 1993-913613 19930630  
 EP 607374 B1 19990407  
 R: CH, DE, ES, FR, GB, LI  
 JP 06510306 T2 19941117 JP 1994-502213 19930630  
 ES 2130274 T3 19990701 ES 1993-913613 19930630  
 US 5610310 A 19970311 US 1995-476642 19950607  
 US 5700817 A 19971223 US 1995-553843 19951106  
 PRIORITY APPLN. INFO.: KR 1992-11554 A 19920630  
 KR 1993-5778 A 19930407  
 WO 1993-KR53 W 19930630  
 US 1994-193163 B3 19940210  
 OTHER SOURCE(S): MARPAT 121:133939  
 GI



AB R1OCH2CHRCH2CHRCH2OR2 and (RCH2)2C(CH2OR1)CH2OR2 [R2 = O, SO0-2, CH2, (alkyl)amino, etc.; R1 = alk(en)yl, alkynyl, CONH2, etc.; R2 = T(CH2)nV X-q; T = bond, CO, CO2, CONH, etc.; V = cyclic ammonio, N+R5R6R7, N-alkylpyridinium-2-yl; R5-R7 = alkyl; X- = halide, alkanesulfonate, carboxylate, etc.; n = 1-10; q = 0 or 1] were prepd. Thus, CH2(CHBrCO2Me)2 was cyclocondensed with Na2S and the reduced product converted in 5 steps to title compd. I which had IC50 of 0.021.mu.M against PAF-induced platelet aggregation in vitro.

IT **65154-06-5, PAF**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists of, bis(carbamoyloxymethyl)thietanes and analogs as)

IT **156720-40-0P 156720-41-1P 156720-45-5P 156720-74-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of PAF antagonist)

IT **156719-77-6P 156719-78-7P 156719-80-1P 156719-93-6P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as PAF antagonist)

L28 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1994:289271 HCAPLUS  
 DOCUMENT NUMBER: 120:289271  
 TITLE: Radioimmunoassay for the Novel Platelet Activating Factor Receptor Antagonist E5880  
 AUTHOR(S): Suzuki, Hiromasa; Asano, Osamu; Tadano, Kyoichi; Horie, Toru  
 CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co. Inc., Tsukuba, 300-26, Japan  
 SOURCE: Journal of Pharmaceutical Sciences (1994), 83(5), 657-61  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A direct RIA for E5880, 1-ethyl-2-[[N-(2-methoxybenzoyl)-N-[[[(2R)-2-methoxy-3-[[[4-[(octadecylcarbamoyl)oxy]piperidino]carbonyl]oxy]propoxy]carbonyl]amino]methyl]pyridinium chloride, a novel analog-type antagonist of

platelet activating factor (PAF), was developed. In this procedure, [3H]E5880 was used as the radioligand, and the antiserum was obtained from rabbits immunized with hapten covalently bound to bovine serum albumin. The hapten represents a structural analog of E5880, with a carboxyl group on the terminal carbon of the 3-position side chain. A metabolite of E5880, deacyl-E5880, cross-reacted weakly (1.8%) with this antiserum. The assay buffer for the RIA consisted of PBS, pH 6.5, contg. 1% BSA to prevent the degrdn. of E5880 in aq. soln. and its adsorption to the tube. The detection limit of the assay was 200 pg/mL when a 0.1-mL plasma sample was used. The RIA was used for the direct anal. of E5880 in dog plasma. The validity of the RIA in dog plasma was demonstrated by comparative anal. of a no. of samples by HPLC ( $r = 0.995$ , slope = 0.9425). The RIA was also used to det. the pharmacokinetics of E5880 in the dog. After the i.v. administration of E5880 (0.2 mg/kg), plasma levels declined biexponentially. The initial plasma half-life, including the distribution phase, was 0.26 h, and the plasma half-life of elimination was 9.96 h.

IT 128420-61-1, E5880

RL: ANST (Analytical study)

(detn. in blood by RIA and pharmacokinetics of)

IT 153735-22-9DP, conjugates with serum albumins

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and **antibodies** formation from, for RIA of platelet activating factor receptor antagonist E5880)

IT 153735-21-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for RIA of platelet activating factor receptor antagonist E5880)

IT 153735-20-7

RL: ANST (Analytical study)

(reaction with tritiated octadecylamine and quaternization with iodomethane of)

L28 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:188554 HCAPLUS

DOCUMENT NUMBER: 120:188554

TITLE: Potential etiologic role of PAF in two major septic complications; disseminated intravascular coagulation and multiple organ failure

AUTHOR(S): Ou, M. C.; Kambayashi, J.; Kawasaki, T.; Uemura, Y.; Shinozaki, K.; Shiba, E.; Sakon, M.; Yukawa, M.; Mori, T.

CORPORATE SOURCE: Med. Sch., Osaka Univ., Suita, 565, Japan

SOURCE: Thrombosis Research (1994), 73(3-4), 227-38

CODEN: THBRAA; ISSN: 0049-3848

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A possible role of platelet-activating factor (PAF) in the occurrence of the two septic complications, i.e., disseminated intravascular coagulation (DIC) and multiple organ failure (MOF) was investigated, employing a rabbit model and a novel PAF antagonist E5880. By an instillation of fecal suspension into the common bile duct of the rabbit, manifestations of DIC and MOF were obsd. with high reproducibility by 9 h after the septic insult. E5880 was i.v. administered to 12 rabbits for 1 h after the septic insult at dose of 1 mg/kg ( $n=6$ ) or 3mg/kg ( $n=6$ ). All the rabbits were subjected to observation of vital signs and serial detn. of lab. tests for 9 h and then lung, liver and kidney were removed for histol. examn. Blood endotoxin level increased significantly by 9 h after the septic insult. Although administration of E5880 did not affect the endotoxemia, the antagonist attenuated in a dose related manner lab. manifestation of DIC such as thrombocytopenia and prolonged prothrombin time as well as that of MOF such as increase in serum bilirubin and creatinine level. The beneficial effect of E5880 on MOF was also confirmed by the histol. evaluation. These observations indicated that

PAF is deeply involved in the occurrence of DIC and MOF due to sepsis and E5880 may be one of the modalities to treat or prevent these two major septic complications.

IT **65154-06-5**, Platelet-activating factor

RL: BIOL (Biological study)

(in sepsis induced disseminated intravascular coagulation and multiple organ failure, E5880 therapy in relation to)

IT **128420-61-1**, E5880

RL: BIOL (Biological study)

(platelet-activating factor antagonism by, in sepsis induced disseminated intravascular coagulation and multiple organ failure)

L28 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:153730 HCAPLUS

DOCUMENT NUMBER: 120:153730

TITLE: Synergistic combinations of PAF antagonists and anticholinergic agents as drugs for treatment of bronchial asthma.

INVENTOR(S): Heuer, Hubert

PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany

SOURCE: Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4219659	A1	19931223	DE 1992-4219659	19920616
PRIORITY APPLN. INFO.:			DE 1992-4219659	19920616
OTHER SOURCE(S):			MARPAT 120:153730	

AB Mixts of hetazepine deriv. PAF antagonists (Markush given) with anticholinergics are synergistic drugs for treatment of bronchial asthma. The effectiveness of a combination of atropine with WEB 2170 was shown on PAF-induced bronchoconstriction, in guinea pigs.

IT **65154-06-5D**, PAF, antagonists, mixts. with anticholinergics

**128420-61-1D**, e 5880, mixts. with anticholinergics

RL: BIOL (Biological study)

(drugs for treatment of bronchial asthma, synergistic)

L28 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:610708 HCAPLUS

DOCUMENT NUMBER: 119:210708

TITLE: Treatment of dysmenorrhea with PAF antagnoists

INVENTOR(S): Kutter, Eberhard

PATENT ASSIGNEE(S): Boehringer Ingelheim KG, Germany

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4200610	A1	19930715	DE 1992-4200610	19920113
WO 9313776	A1	19930722	WO 1993-EP47	19930112

W: JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.:

DE 1992-4200610 19920113

OTHER SOURCE(S): MARPAT 119:210708

AB PAF antagonists are drugs for the treatment of dysmenorrhea, esp. primary



dysmenorrhea (no data). Suitable PAF antagonists are alprazolam, dilthiazem, brotizolam, hetrazepine derivs., etc. Formulation examples are given. The PAF antagonist 2-[4-(2-chlorophenyl)-9-methyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-2-yl]ethane-1-carboxylic acid morpholide was prepd. by the reaction of 2-[4-(2-chlorophenyl)-9-methyl-6H-thieno[3,2-f][1,4]diazepin-2-yl]ethane-1-carboxylic acid with N-hydroxybenzotriazole and morpholine, in abs. DMF.

IT 128420-61-1, E-5880

RL: BIOL (Biological study)

(PAF antagonist, dysmenorrhea treatment by)

IT 65154-06-5, Blood platelet-activating factor

RL: BIOL (Biological study)

(antagonist of, as drugs for treatment of dysmenorrhea)

L28 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:449384 HCAPLUS

DOCUMENT NUMBER: 119:49384

TITLE: Preparation of 7-(indol-3-yl carbonyl)pyrrolo[1,2-c]thiazoles and related compounds as platelet activating factor antagonists

INVENTOR(S): Summers, James B.; Davidsen, Steven K.; Holms, James H.; Pireh, Daisy; Heyman, H. Robin; Martin, Michael B.; Steinman, Douglas H.; Sheppard, George S.; Carrera, George M., Jr.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

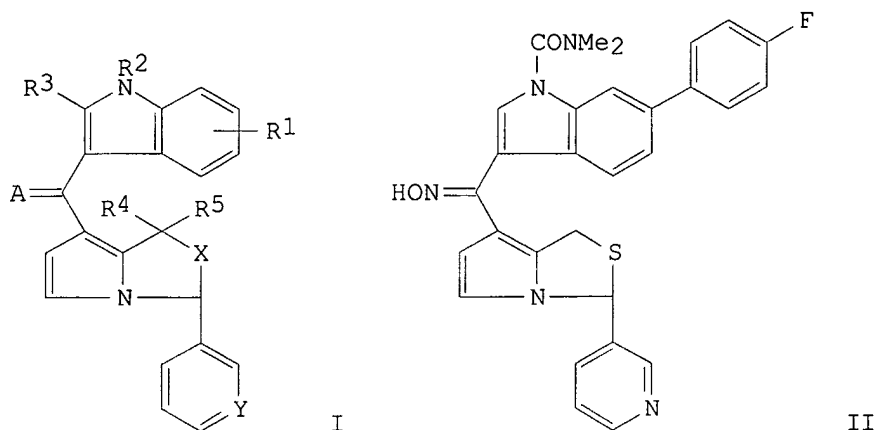
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301813	A1	19930204	WO 1992-US5890	19920714
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2112562	AA	19930204	CA 1992-2112562	19920714
AU 9223391	A1	19930223	AU 1992-23391	19920714
AU 651243	B2	19940714		
EP 595924	A1	19940511	EP 1992-915895	19920714
EP 595924	B1	19990414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 178796	E	19990415	AT 1992-915895	19920714
ES 2131530	T3	19990801	ES 1992-915895	19920714
JP 3135917	B2	20010219	JP 1993-502913	19920714
US 5459152	A	19951017	US 1993-162034	19931202

PRIORITY APPLN. INFO.: US 1991-731681 A2 19910717  
WO 1992-US5890 A 19920714

OTHER SOURCE(S): MARPAT 119:49384

GI



AB Title compds. [I; R1 = H, halo, furyl, thienyl, thiazolyl, pyridyl, pyrimidyl, alkyl, alkoxy, alkanoyl, (substituted) Ph, PhCO, PhO, phenylalkoxy phenylalkanoyl; R2 = H, alkyl, hydroxy(alkyl), carboxy(alkyl), amino(alkyl), acyl(alkyl), sulfonyl(alkyl), sulfamoyl(alkyl), carbamoyl(alkyl); R3-R5 = H, alkyl; X = S, SO, SO<sub>2</sub>, O, CH<sub>2</sub>; Y = N, N+R12, N+O<sup>-</sup>, N+OR12, N+NR7R8, N+NHCOR9, etc.; A = O, NOR10, NOCOR10, NNR7R8; R7-R9 = H, alkyl; R7R8 = heterocyclyl; R10 = H, alkyl, carboxyalkyl, aminoalkyl, hydroxyalkyl, sulfonylalkyl, sulfamoylalkyl, cyanoalkyl, tetrazolylalkyl, CONHNH<sub>2</sub>, (substituted) phenylalkyl; R12 = alkyl], were prepd. Thus, 3-(pyridin-3-yl)-7-[1-(N,N-dimethyl(carbamoyl)-6-(4-fluorophenyl)indol-3-ylcarbonyl]-1H,3H-pyrrolo[1,2-c]thiazole (prepn. given) was heated with NH<sub>2</sub>OH.HCl in pyrine/EtOH at 110.degree. to give title compd. II. II inhibited platelet activating factor with K<sub>i</sub> = 0.3 nM.

IT **65154-06-5**, Platelet activating factor  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists, indolylcarbonylpyrrolothiazoles)

IT **147620-29-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as platelet activating factor antagonist)

L28 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:252496 HCAPLUS

DOCUMENT NUMBER: 118:252496

TITLE: Blood platelet-activating factor (PAF) levels and effects of PAF antagonist in patients with septic DIC  
 AUTHOR(S): Tamakuma, Shoetsu; Ono, Satoshi; Shiba, Tadaaki; Isogai, Masahiro; Sekikawa, Takayoshi; Inoue, Shingo; Nakatani, Toshio; Nakano, Akira; Mori, Keiichiro; Tateishi, Akio

CORPORATE SOURCE: Natl. Def. Med. Coll., Tokorozawa, 359, Japan

SOURCE: Igaku no Ayumi (1993), 164(13), 913-4

CODEN: IGAYAY; ISSN: 0039-2359

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB Elevated levels of PAF were found in the blood of 15 patients with septic DIC in comparison to normal controls, as detd. by gas chromatog./mass spectroscopy. Administration of an anti-PAF agent (E 5880) induced a significant increase in the platelet count and improvement of the symptoms due to organ injuries.

IT **128420-61-1**, E 5880  
 RL: BIOL (Biological study)

(as PAF antagonist, septic disseminated intravascular coagulation response to, in humans)  
 IT **65154-06-5**, Blood platelet-activating factor  
 RL: BIOL (Biological study)  
 (of blood in human with septic disseminated intravascular coagulation)

L28 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:174669 HCAPLUS

DOCUMENT NUMBER: 116:174669

TITLE: Preparation of sugar analogs as platelet-activating factor (PAF) antagonists

INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada, Kokichi; Et, Al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

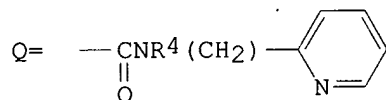
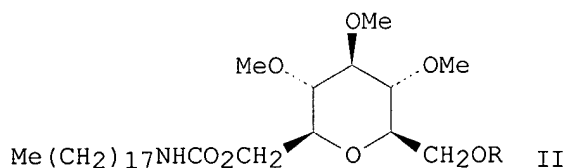
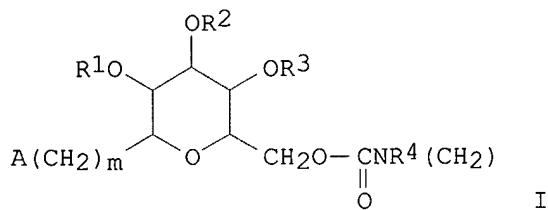
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03200779	A2	19910902	JP 1989-344683	19891227
JP 2933962	B2	19990816		
PRIORITY APPLN. INFO.:			JP 1989-344683	19891227
OTHER SOURCE(S):	MARPAT 116:174669			

GI



AB Sugar analogs [I; R1-R3 = alkyl; R4 = acyl; A = 3,4,5-trimethoxybenzyloxy, 4-biphenylmethoxy, etc.; G = 2-pyridyl its quaternary salts; m, n = 1-3], useful as blood platelet aggregation inhibitors, are prepd. Deprotection of 390 mg ether II (R = tetrahydropyran-2-yl) with pyridine p-tosylate in EtOH gave 289 mg alc. II (R = H), which (280 mg) was stirred with pyridine 162, ClCO2Ph 165, and 2-(aminomethyl)pyridine 570 mg in CH2Cl2 at 0.degree. to give 289 mg carbamate II (R = Q, R5 = H) (III). Acetylation of 280 mg III with Ac2O in pyridine gave 218 mg amide deriv.

II (R = Q, R5 = Ac), which (70 mg) was refluxed with EtI to give 60 mg pyridinium salt II.EtI (R = Q, R5 = Ac) (IV). IV showed IC50 of 0.084 .mu.M against PAF-induced platelet aggregation and IC50 of 0.027 .mu.M in PAF receptor binding assay.

- IT **65154-06-5**, Platelet-activating factor  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists, sugar analogs)
- IT **138085-48-0P 138085-49-1P 138105-87-0P**  
**138105-88-1P 138105-89-2P 138105-90-5P**  
**138874-18-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of platelet aggregation inhibitor)
- IT **138085-58-2P 138085-60-6P 138085-61-7P**  
**138085-62-8P 138085-63-9P 138085-64-0P**  
**138085-65-1P 138085-66-2P 138085-67-3P**  
**138085-68-4P 138085-69-5P 138874-19-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as platelet-activating factor antagonist)

L28 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:41979 HCAPLUS

DOCUMENT NUMBER: 116:41979

TITLE: Preparation of 1-deoxy-or 1,2-dideoxyglucopyranose  
 derivatives as platelet activating factor (PAF)  
 inhibitors

INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki;  
 Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei;  
 Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,  
 Kokichi; Et, Al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

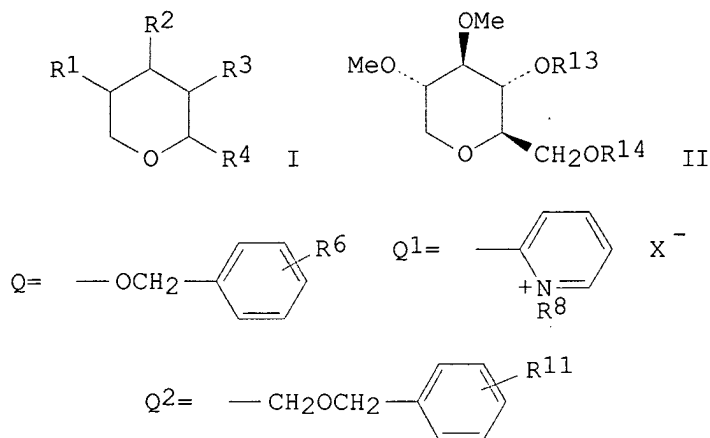
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03200780	A2	19910902	JP 1989-344684	19891227
JP 2933963	B2	19990816		
PRIORITY APPLN. INFO.:			JP 1989-344684	19891227
OTHER SOURCE(S):	MARPAT	116:41979		
GI				



AB The title compds. [I; R1 = H, alkoxy; R2 = alkoxy; R3 = O2CNHR5, O2CNR7(CH2)nG, Q; G = 2-pyridyl, Q1; R4 = CH2O2CNR9(CH2)mG1; R5 = alkyl; R6 = H, alkoxy, Ph; R7, R9 = acyl; R8 = H, alkyl; X- = pharmacol. acceptable anion; n, m = 1-3; G1 = 2-pyridyl, Q1, Q2, CH2O2CNHR12; R11 = H, alkoxy, Ph; R12 = alkyl; excluding R3 = O2CNR7(CH2)nG and R4 = CH2O2CNR9(CH2)mG1], useful for treatment and prevention of PAF-assocd. diseases, e.g. inflammation, disseminated intravascular coagulation (DIC), endotoxin shock, asthma, peptic ulcer, and nephritis, are prepd. Thus, acylation of II (R13 = H, R14 = CH2C6H4OMe-4) (prepn. given) with Cl8H37NCO in refluxing PhMe contg. pyridine, and oxidative deprotection of the resulting II (R13 = CONHC18H37, R14 = CH2C6H4OMe-4) with (NH4)2Ce(NO3)6 in aq. MeCN to give II (R13 = CONHC18H37, R14 = H) followed by condensation with PhO2CCl and 2-aminomethylpyridine in CH2Cl2 contg. pyridine gave II [R13 = CONHC18H37, R14 = N-(2-pyridylmethyl)carbamoyl] which was acetylated with Ac2O in pyridine at 110.degree. for 16 h and then quaternized with EtI under reflux to give II (R13 = CONHC18H37, R14 = CONAcCH2Q1, R8 = Et, X- = I-) (III). III in vitro inhibited PAF-induced coagulation of human blood platelet with IC50 of 0.17 .mu.M.

IT **65154-06-5**, Platelet activating factor

RL: USES (Uses)

(inhibitors, (di)deoxyglucose derivs.)

IT **138198-50-2P 138198-62-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for platelet activating factor inhibitor)

IT **138198-27-3P 138198-28-4P 138198-29-5P**

**138198-30-8P 138198-31-9P 138198-32-0P**

**138198-33-1P 138198-34-2P 138198-37-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as platelet activating factor inhibitor)

L28 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:6190 HCAPLUS

DOCUMENT NUMBER: 116:6190

TITLE: Preparation of cyclohexanediol derivatives as platelet-activating factor (PAF) antagonists

INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada, Kokichi; Et, Al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

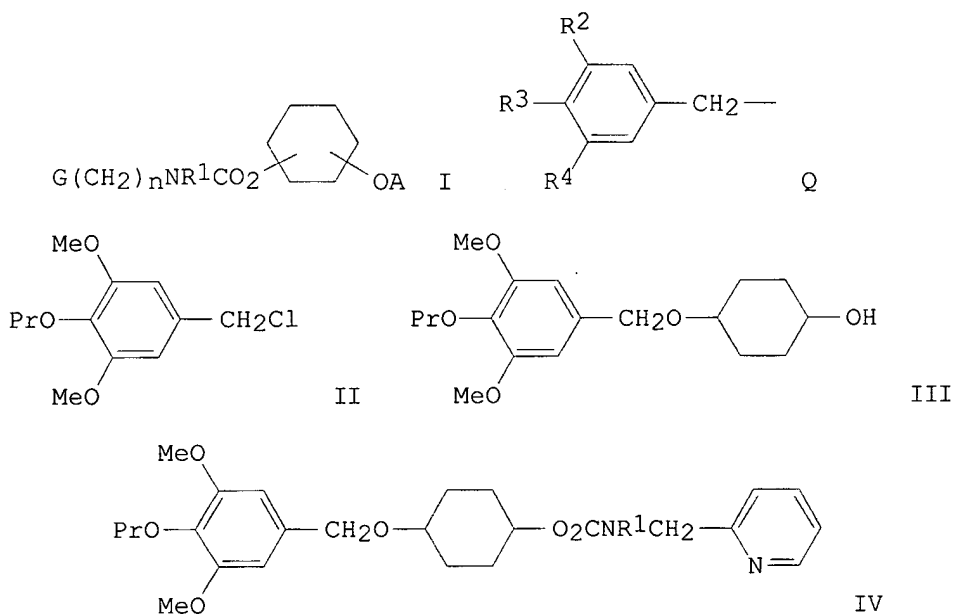
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03200757	A2	19910902	JP 1989-344682	19891227
JP 2857191	B2	19990210		

PRIORITY APPLN. INFO.: JP 1989-344682 19891227  
 OTHER SOURCE(S): MARPAT 116:6190  
 GI



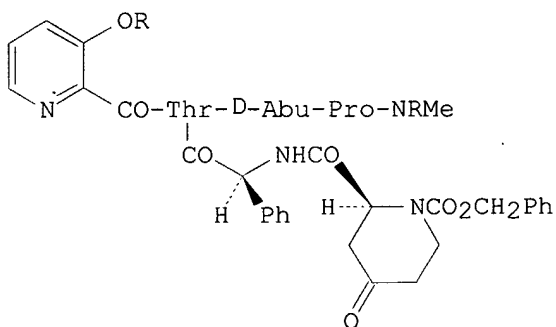
AB The title compds. [I; A = Q (wherein R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> = alkoxy, cycloalkylalkoxy, arylalkoxy), arylmethyl, alkylcarbonyl, etc.; R<sub>1</sub> = acyl; G = 2-pyridyl, dialkylamino; n = 0-3] are prepd. NaH (60%) was added to a soln. of 1,4-cyclohexanediol in DMF with stirring at 80.degree., followed by a soln. of II in DMF with stirring at 50.degree. and 70.degree. to give 37% monoether III, which was dissolved in pyridine and CH<sub>2</sub>Cl<sub>2</sub> and treated with ClCO<sub>2</sub>Ph under cooling, the ext. was distd. and the residue heated with 2-(aminomethyl)pyridine at 60.degree. to give 94% carbamate IV (R<sub>1</sub> = H) (V). KH (35%) was added to a soln. of V in THF with stirring at room temp., followed by 2-MeOC<sub>6</sub>H<sub>4</sub>COCl under cooling to give 30% IV (R<sub>1</sub> = 2-MeOC<sub>6</sub>H<sub>4</sub>CO). Also prepd. were 34 addnl. I which showed IC<sub>50</sub> of 0.07-0.155 .mu.M against PAF-induced human blood platelet aggregation.

IT **65154-06-5**, Platelet-activating factor  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists, cyclohexanediol derivs.)  
 IT **137780-07-5P 137780-08-6P 137780-11-1P**  
**137780-14-4P 137780-15-5P 137780-16-6P**  
**137780-17-7P 137780-18-8P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as platelet-activating factor antagonist)

L28 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1991:680530 HCAPLUS  
 DOCUMENT NUMBER: 115:280530  
 TITLE: Unexpected internal peptide

butoxycarbonylation of a linear N-Me amide  
**peptide** derived from virginiamycin S and  
 resulting failure for a carboxy-terminal sequencing.  
 Preparation of the tetrapeptide synthon  
 Thr-D-Abu-Pro-MePhe-OBzl

AUTHOR(S): Moerman, Marc C.; Anteunis, Marc J. O.  
 CORPORATE SOURCE: Lab. Org. Chem., State Univ. Gent, Ghent, B-9000,  
 Belg.  
 SOURCE: Bulletin des Societes Chimiques Belges (1991), 100(9),  
 653-63  
 CODEN: BSCBAG; ISSN: 0037-9646  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 115:280530  
 GI



AB Treatment of linear chain-shortened virginiamycin S1 deriv. I (Abu = 2-aminobutanoic acid, R = H) with di-tert-Bu dicarbonate [(Boc)2O] did not give the expected I (R = Boc) but rather underwent selective tert-butoxycarbonylation at the threonine nitrogen. This reaction is exploited in the conversion of virginiamycin S1 to tetrapeptide ester H-Thr-D-Abu-Pro-MePhe-OCH2Ph in 5 steps and 75% overall yield.

IT **137407-76-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (attempted prepn. of, by dimethylation of linear chain-shortened deriv.  
 via butoxycarbonylation)

IT **137407-79-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and base-induced fragmentation of)

IT **137407-77-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

IT **23152-29-6**, Virginiamycin S1

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (ring cleavage of, with trifluoroacetic acid)

L28 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:492829 HCAPLUS

DOCUMENT NUMBER: 115:92829

TITLE: Preparation of glycerin derivatives as  
 platelet-activating factor (PAF) inhibitors

INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki;  
 Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei;  
 Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,  
 Kokichi; Et, Al.

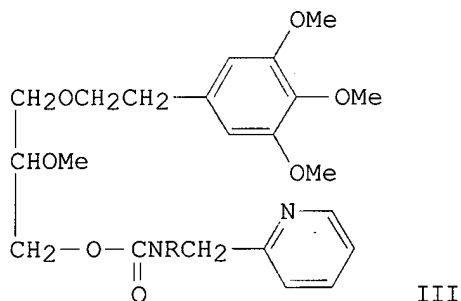
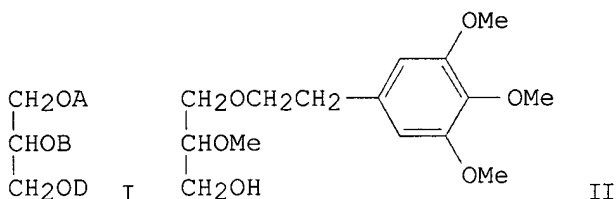
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03038561	A2	19910219	JP 1989-171363	19890703
JP 2843059	B2	19990106		

PRIORITY APPLN. INFO.: JP 1989-171363 19890703  
 OTHER SOURCE(S): MARPAT 115:92829  
 GI



AB Glycerins [I; A = (substituted) aryl, aralkyl, cyclohexyl, cyclohexylakyl, etc.; B = alkyl, aralkyl; D = Y(CH<sub>2</sub>)<sub>q</sub>G wherein Y = OCONR<sub>4</sub> (R<sub>4</sub> = acyl, carbamoyl), O(CH<sub>2</sub>)<sub>r</sub>NR<sub>7</sub> (R<sub>7</sub> = acyl, r = 1-3), G = 2-pyridyl, dialkylamino, q = 0-3] are prepd. Glycerin deriv. II (2.1 g) (prepn. given) was dissolved in pyridine and treated with 1.2 g ClCO<sub>2</sub>Ph and then 2.1 g 2-(aminomethyl)pyridine to give 1.7 g carbamate deriv. III (R = H), which was treated with Ac<sub>2</sub>O in pyridine to give 1.1 g amide deriv. III (R = Ac) (IV). Quaternization of 1.1 g IV with EtI gave 1.0 g salt IV.EtI, which showed IC<sub>50</sub> of 0.38 .mu.M against PAF-induced blood platelet aggregation and recovered the PAF-induced blood pressure lowering by 67.8% at 1.0 mg/kg i.v. in rats.

IT 65154-06-5, Platelet activating factor

RL: USES (Uses)  
 (inhibitors, glycerin derivs.)

IT 128400-79-3P 128420-66-6P 135423-96-0P  
 135471-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of platelet-activating factor inhibitor)

IT 128400-58-8P 128400-59-9P 128400-60-2P  
 128400-61-3P

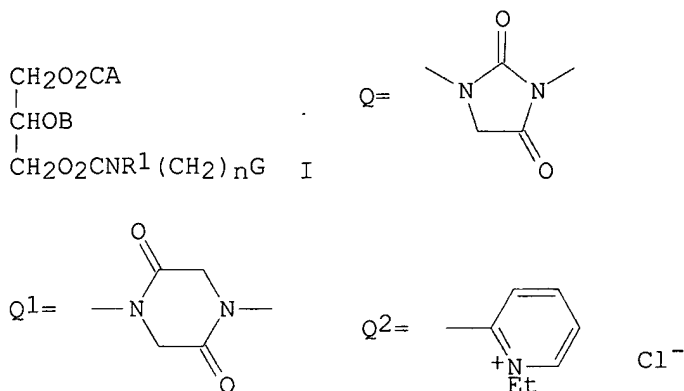
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as platelet-activating factor inhibitor)

L28 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN



ACCESSION NUMBER: 1990:459773 HCAPLUS  
 DOCUMENT NUMBER: 113:59773  
 TITLE: Preparation of glycerin derivatives as platelet  
 activating factor (PAF) antagonists  
 INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki;  
 Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei;  
 Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,  
 Koukichi; et al.  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 150 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353474	A2	19900207	EP 1989-112204	19890704
EP 353474	A3	19910327		
EP 353474	B1	19950405		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8903099	A	19900105	FI 1989-3099	19890626
FI 97883	B	19961129		
FI 97883	C	19970310		
AU 8937213	A1	19900104	AU 1989-37213	19890629
AU 621634	B2	19920319		
US 5037827	A	19910806	US 1989-373350	19890629
CA 1334753	A1	19950314	CA 1989-604528	19890630
DK 8903291	A	19900105	DK 1989-3291	19890703
NO 8902743	A	19900105	NO 1989-2743	19890703
NO 177495	B	19950619		
NO 177495	C	19950927		
CN 1039414	A	19900207	CN 1989-106554	19890703
CN 1041920	B	19990203		
JP 02131467	A2	19900521	JP 1989-171362	19890703
JP 2766319	B2	19980618		
HU 52478	A2	19900728	HU 1989-3355	19890703
HU 208119	B	19930830		
DD 297814	A5	19920123	DD 1989-330340	19890703
HU 62855	A2	19930628	HU 1992-2211	19890703
RU 2040521	C1	19950725	RU 1989-4614654	19890703
AT 120734	E	19950415	AT 1989-112204	19890704
ES 2070148	T3	19950601	ES 1989-112204	19890704
US 5273985	A	19931228	US 1991-710089	19910604
US 5476863	A	19951219	US 1993-129301	19930930
US 5476864	A	19951219	US 1993-129302	19931110
JP 08231508	A2	19960910	JP 1996-30394	19960219
JP 2758584	B2	19980528		
PRIORITY APPLN. INFO.:			JP 1988-166386	19880704
			US 1989-373350	19890629
			US 1991-710089	19910604
OTHER SOURCE(S):			MARPAT 113:59773	
GI				



AB The title compds. [I; A = NH(CH<sub>2</sub>)<sub>m</sub>Ph, NH(CH<sub>2</sub>)<sub>p</sub>C<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH<sub>2</sub>, NH(CH<sub>2</sub>)<sub>3</sub>NHCONH<sub>2</sub>, NH(CH<sub>2</sub>)<sub>4</sub>CONH<sub>2</sub>, etc. (un)substituted at Ph or NH<sub>2</sub> group; m, p = 0-6; B = alkyl, aralkyl; R<sup>1</sup> = acyl; n = 0-3; G = 2-pyridyl, 2-pyridiniumyl] and AIOCH<sub>2</sub>CH(OB<sup>1</sup>)CH<sub>2</sub>D [A<sup>1</sup> = (CH<sub>2</sub>)<sub>n</sub>R<sub>2</sub>; R<sub>2</sub> = cyclohexyl, 4-biphenyl, (un)substituted Ph; B = alkyl, arylalkyl; D = Y(CH<sub>2</sub>)<sub>q</sub>G; Y = (un)substituted O<sub>2</sub>CNH or O(CH<sub>2</sub>)<sub>r</sub>N, Q, Q<sup>1</sup> Q<sup>2</sup>; q, r = 0-3; G = 2-pyridyl, trialkylammonio salt] useful for the treatment of diseases caused by PAF, e.g. disseminated intravascular coagulation, anaphylactic or hemorrhagic shock, and allergic diseases, are prepd. Thus, acylation of 2-O-methyl-3-O-[[N-(2-pyridyl)methyl]carbamoyl]glycerol with 2-aminofluorene and ClCO<sub>2</sub>CCl<sub>3</sub> on the presence of pyridine gave I (A = 2-fluoroenylamino, B = Me, R<sup>1</sup> = H, n = 1, G = 2-pyridyl) which was acylated with 2-MeOC<sub>6</sub>H<sub>4</sub>COCl in pyridine to give I (R<sup>1</sup> = 2-MeOC<sub>6</sub>H<sub>4</sub>CO, A, B, n, G = same as above). Quaternization of the latter with EtI gave, after treatment with Amerlite IRA-410 (Cl<sup>-</sup>), I (G = Q<sup>2</sup>, R<sup>1</sup>, A, B, n = same as above). A total of 49 I were prepd. and 17 I (G = 2-pyridiniumyl) in nitro inhibited 3H-PAF binding to the PAF receptor of human platelets with IC<sub>50</sub> of 0.00019-3.5 .μM.

IT **65154-06-5**, Platelet activating factor

RL: RCT (Reactant); RACT (Reactant or reagent)  
(antagonists, glycerin dicarbamates)

IT **128400-91-9P 128401-18-3P 128420-66-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for glycerin dicarbamate platelet activating factor antagonist)

IT **128400-44-2P 128400-45-3P 128400-46-4P**  
**128400-47-5P 128400-48-6P 128400-49-7P**  
**128400-50-0P 128400-51-1P 128400-52-2P**  
**128400-53-3P 128400-54-4P 128400-55-5P**  
**128400-58-8P 128400-59-9P 128400-60-2P**  
**128400-61-3P 128400-66-8P 128400-67-9P**  
**128400-68-0P 128400-69-1P 128400-70-4P**  
**128400-71-5P 128400-72-6P 128400-73-7P**  
**128400-74-8P 128400-75-9P 128400-78-2P**  
**128400-79-3P 128400-80-6P 128400-84-0P**  
**128420-59-7P 128420-60-0P 128420-61-1P**  
**128420-62-2P 128420-63-3P 128420-64-4P**  
**128420-65-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as platelet activating factor antagonist)

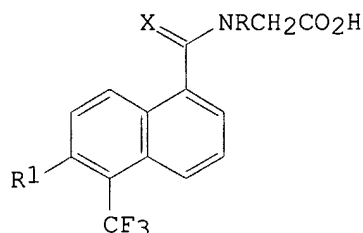
L28 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:595363 HCAPLUS

DOCUMENT NUMBER: 111:195363

TITLE: Orally active aldose reductase inhibitors derived from

AUTHOR(S): bioisosteric substitutions on tolrestat  
 Wrobel, Jay; Millen, Jane; Sredy, Janet; Dietrich,  
 Arlene; Kelly, Joseph M.; Gorham, Beverly J.; Sestanjanj,  
 Kazimir  
 CORPORATE SOURCE: Wyeth-Ayerst Res. Inc., Princeton, NJ, 08543-8000, USA  
 SOURCE: Journal of Medicinal Chemistry (1989), 32(11),  
 2493-500  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 111:195363  
 GI



AB A series of aldose reductase inhibitors was prepd. in which structural modifications were made to three positions of the potent, orally active inhibitor tolrestat (I, R = Me, R1 = OMe, X = S) (II), namely, the 6-methoxy substituent, thioamide S, and the N-Me moiety. These compds. were evaluated in two in vitro systems: an isolated enzyme prepn. from bovine lens to assess their intrinsic inhibitory activity and an isolated rat sciatic nerve assay to det. their ability to penetrate membranes of nerve tissue. These compds. were also evaluated in vivo as inhibitors of galactitol accumulation in the lens, sciatic nerve, and diaphragm of galactose-fed rats. Bioisosteric replacement of the 6-methoxy group with a methylthio substituent gave I (R = Me, R1 = SMe, X = S) (III), and replacement of the thioamide substituent with a cyanoamidine gave I (R = Me, R1 = OMe, X = NCN) (IV). Both III and IV retained high in vitro potency but were less potent in vivo than II. Replacement of the N-Me group by a carbomethoxy moiety gave I (R = CO2Me, R1 = OMe, X = S) and led to a substantial redn. in activity in each of the three assays employed. However, this same structural modification of oxotolrestat led to I (R = CO2Me, R1 = OMe, X = O) and resulted in an enhancement of the intrinsic activity and a comparable in vivo potency. The isolated nerve data suggest that some compds. in these series do not readily penetrate into peripheral nerves, and this presumably is a factor in their lack of oral activity.

IT 121731-42-8P 121731-43-9P 121731-44-0P

121731-45-1P 121731-46-2P 121731-47-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and acidic hydrolysis of, carboxylic acid from)

IT 121731-13-3P 121731-14-4P 121731-15-5P

121731-16-6P 121731-17-7P 121731-18-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and aldose reductase inhibition by)

IT 121731-29-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and alkylation of, with tert-Bu bromoacetate)

IT 121731-54-2P 121731-55-3P 121731-56-4P

121731-57-5P

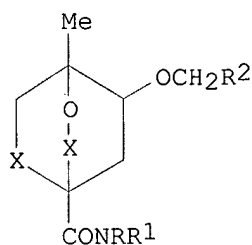
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)  
(prepn. and N-alkylation of, with tert-Bu bromoacetate)

L28 ANSWER 36 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1987:534225 HCAPLUS  
 DOCUMENT NUMBER: 107:134225  
 TITLE: 4-Substituted-2-oxabicyclo[2.2.1]heptane ether  
 herbicides  
 INVENTOR(S): Powell, James E.  
 PATENT ASSIGNEE(S): Shell Oil Co., USA  
 SOURCE: U.S., 15 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4606753	A	19860819	US 1984-621011	19840615
PRIORITY APPLN. INFO.:			US 1984-621011	19840615
OTHER SOURCE(S):		CASREACT 107:134225		

GI



AB Oxabicycloalkane ethers, including the title compds. I (X = bond, CMe<sub>2</sub>; Y = bond, CH<sub>2</sub>, such that both X and Y are not a single bond; R = H, COR<sub>3</sub>, R<sub>3</sub> = H, hydrocarbyl; R<sub>1</sub> = hydrocarbyl, ester, H<sub>2</sub>NCO; R<sub>2</sub> = cyano, aryl, heterocyclyl, cycloalkyl, etc.), useful as herbicides or plant growth regulators, were prepd. Thus, 1,3,3-trimethyl-6-endo-(phenylmethoxy)-2-oxabicyclo[2.2.1]heptane-4-carbonyl isocyanate, prepd. in 11 steps from NCCH<sub>2</sub>CO<sub>2</sub>Me, in CH<sub>2</sub>Cl<sub>2</sub> was treated with MeNH<sub>2</sub> to give 1,3,3-trimethyl-N-(methylaminocarbonyl)-6-endo-(phenylmethoxy)-2-oxabicyclo[2.2.1]heptane-4-carboxamide (II). In postemergence tests II gave 100% control of barnyard grass and downy brome.

IT 105919-10-6P

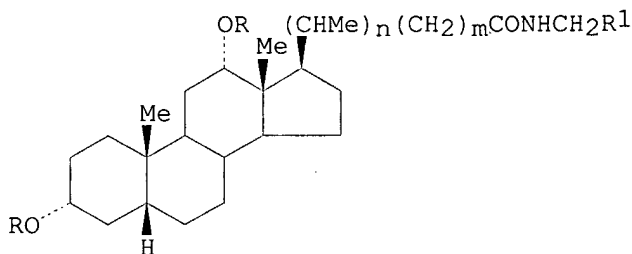
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as herbicide and plant growth regulator)

L28 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1979:204491 HCAPLUS  
 DOCUMENT NUMBER: 90:204491  
 TITLE: Taurine and glycine derivatives  
 INVENTOR(S): Gallo-Torres, Hugo; Guthrie, Robert William; Hamilton, James Guthrie; Kierstead, Richard Wightman; Sullivan, Ann Clare  
 PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA  
 SOURCE: U.S., 12 pp.  
 CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4104285	A	19780801	US 1977-790164	19770422
PRIORITY APPLN. INFO.:			US 1977-790164	19770422

GI



I

AB Cholanoyl amino acids I (R = H, alkanoyloxy, BzO; R1 = CO2H, alkoxy carbonyl, CH2SO3H; m, n = 0, 1) were prepd. Thus, 9.87 g 3.alpha.,12.alpha.-dihydroxy-24-nor-5.beta.-cholanic acid was treated with ClCO2Et to give the carbonate, which was treated with 1.96 g glycine to give 7.8 g I (R = H, R1 = CO2H, m = n = 1). I inhibits pancreatic lipase in vitro and hypolipemic in rats.

IT 9001-62-1

RL: PROC (Process)  
 (inhibition of, by cholanoylglycine and cholanoyltaurine derivs.)

IT 70118-04-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, with glycine)

=> select hit rn 128 1-37  
 E1 THROUGH E163 ASSIGNED

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:44:32 ON 29 SEP 2003  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6  
 DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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SELECT HIT RN L28 1-37

FILE 'REGISTRY' ENTERED AT 09:44:32 ON 29 SEP 2003

L29 163 S E1-E163  
L30 135 S L29 AND L18

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5	RN	259825-39-3	REGISTRY
6	RN	259825-33-7	REGISTRY
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8	RN	259825-24-6	REGISTRY
9	RN	259825-12-2	REGISTRY
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11	RN	259825-05-3	REGISTRY
12	RN	259824-82-3	REGISTRY
13	RN	259824-73-2	REGISTRY

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18	RN	219909-76-9	REGISTRY
19	RN	174290-78-9	REGISTRY
20	RN	174147-85-4	REGISTRY
21	RN	174147-84-3	REGISTRY
22	RN	174147-83-2	REGISTRY
23	RN	156720-74-0	REGISTRY
24	RN	156720-45-5	REGISTRY
25	RN	156720-41-1	REGISTRY
26	RN	156720-40-0	REGISTRY
27	RN	156719-93-6	REGISTRY
28	RN	156719-80-1	REGISTRY
DR		186752-14-7	
29	RN	156719-78-7	REGISTRY
DR		186752-13-6	
30	RN	156719-77-6	REGISTRY
DR		186752-12-5	
31	RN	153735-22-9	REGISTRY
32	RN	153735-21-8	REGISTRY
33	RN	153735-20-7	REGISTRY
34	RN	147620-29-9	REGISTRY
35	RN	138874-19-8	REGISTRY
36	RN	138874-18-7	REGISTRY
37	RN	138198-62-6	REGISTRY
38	RN	138198-50-2	REGISTRY
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40	RN	138198-34-2	REGISTRY
41	RN	138198-33-1	REGISTRY
42	RN	138198-32-0	REGISTRY
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45	RN	138198-29-5	REGISTRY
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47	RN	138198-27-3	REGISTRY
48	RN	138105-90-5	REGISTRY
49	RN	138105-89-2	REGISTRY
50	RN	138105-88-1	REGISTRY
51	RN	138105-87-0	REGISTRY
52	RN	138085-69-5	REGISTRY
53	RN	138085-68-4	REGISTRY
54	RN	138085-67-3	REGISTRY
55	RN	138085-66-2	REGISTRY
56	RN	138085-65-1	REGISTRY
57	RN	138085-64-0	REGISTRY
58	RN	138085-63-9	REGISTRY
59	RN	138085-62-8	REGISTRY
60	RN	138085-61-7	REGISTRY
61	RN	138085-60-6	REGISTRY
62	RN	138085-58-2	REGISTRY
63	RN	138085-49-1	REGISTRY
64	RN	138085-48-0	REGISTRY
65	RN	137780-18-8	REGISTRY
66	RN	137780-17-7	REGISTRY
67	RN	137780-16-6	REGISTRY
68	RN	137780-15-5	REGISTRY
69	RN	137780-14-4	REGISTRY
70	RN	137780-11-1	REGISTRY
71	RN	137780-08-6	REGISTRY
72	RN	137780-07-5	REGISTRY
73	RN	137407-79-5	REGISTRY
74	RN	137407-77-3	REGISTRY
75	RN	135471-62-4	REGISTRY
76	RN	135423-96-0	REGISTRY
77	RN	128420-66-6	REGISTRY
78	RN	128420-65-5	REGISTRY
79	RN	128420-64-4	REGISTRY
80	RN	128420-63-3	REGISTRY
81	RN	128420-62-2	REGISTRY
82	RN	128420-61-1	REGISTRY
83	RN	128420-60-0	REGISTRY
84	RN	128420-59-7	REGISTRY
85	RN	128401-18-3	REGISTRY
86	RN	128400-91-9	REGISTRY
87	RN	128400-84-0	REGISTRY
88	RN	128400-80-6	REGISTRY
89	RN	128400-79-3	REGISTRY
90	RN	128400-78-2	REGISTRY
91	RN	128400-75-9	REGISTRY
92	RN	128400-74-8	REGISTRY
93	RN	128400-73-7	REGISTRY
94	RN	128400-72-6	REGISTRY
95	RN	128400-71-5	REGISTRY
96	RN	128400-70-4	REGISTRY
97	RN	128400-69-1	REGISTRY
98	RN	128400-68-0	REGISTRY
99	RN	128400-67-9	REGISTRY
100	RN	128400-66-8	REGISTRY
101	RN	128400-61-3	REGISTRY
102	RN	128400-60-2	REGISTRY

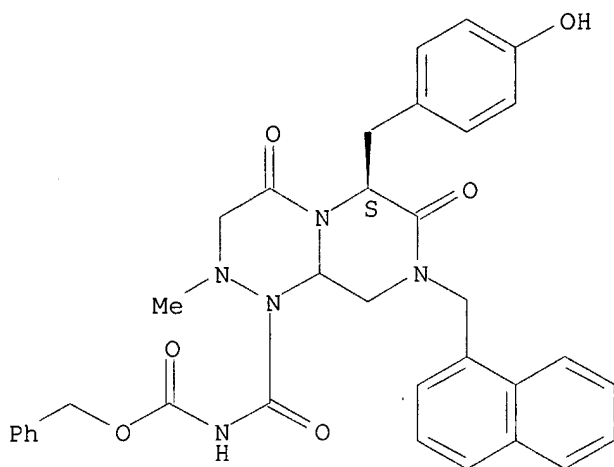
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108	RN	128400-52-2	REGISTRY
109	RN	128400-51-1	REGISTRY
110	RN	128400-50-0	REGISTRY
111	RN	128400-49-7	REGISTRY
112	RN	128400-48-6	REGISTRY
113	RN	128400-47-5	REGISTRY
114	RN	128400-46-4	REGISTRY
115	RN	128400-45-3	REGISTRY
116	RN	128400-44-2	REGISTRY
117	RN	121731-57-5	REGISTRY
118	RN	121731-56-4	REGISTRY
119	RN	121731-55-3	REGISTRY
120	RN	121731-54-2	REGISTRY
121	RN	121731-47-3	REGISTRY
122	RN	121731-46-2	REGISTRY
123	RN	121731-45-1	REGISTRY
124	RN	121731-44-0	REGISTRY
125	RN	121731-43-9	REGISTRY
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127	RN	121731-29-1	REGISTRY
128	RN	121731-18-8	REGISTRY
129	RN	121731-17-7	REGISTRY
130	RN	121731-16-6	REGISTRY
131	RN	121731-15-5	REGISTRY
132	RN	121731-14-4	REGISTRY
133	RN	121731-13-3	REGISTRY
134	RN	105919-10-6	REGISTRY
135	RN	70118-04-6	REGISTRY

=> d ide can 1 10 20 30 40 50 60 70 80 90 100 110 120 130 135

L30 ANSWER 1 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 512853-02-0 REGISTRY  
CN Carbamic acid, [[[6S)-hexahydro-6-[(4-hydroxyphenyl)methyl]-2-methyl-8-(1-naphthalenylmethyl)-4,7-dioxo-2H-pyrazino[2,1-c][1,2,4]triazin-1(6H)-yl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C34 H33 N5 O6  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:321579

L30 ANSWER 10 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN **259825-11-1** REGISTRY

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-(4R)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-dimethylethyl)dimethylsilyl]-4-[4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-bis[(1,1-dimethylethoxy)carbonyl]-3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C78 H138 N6 O16 Si5

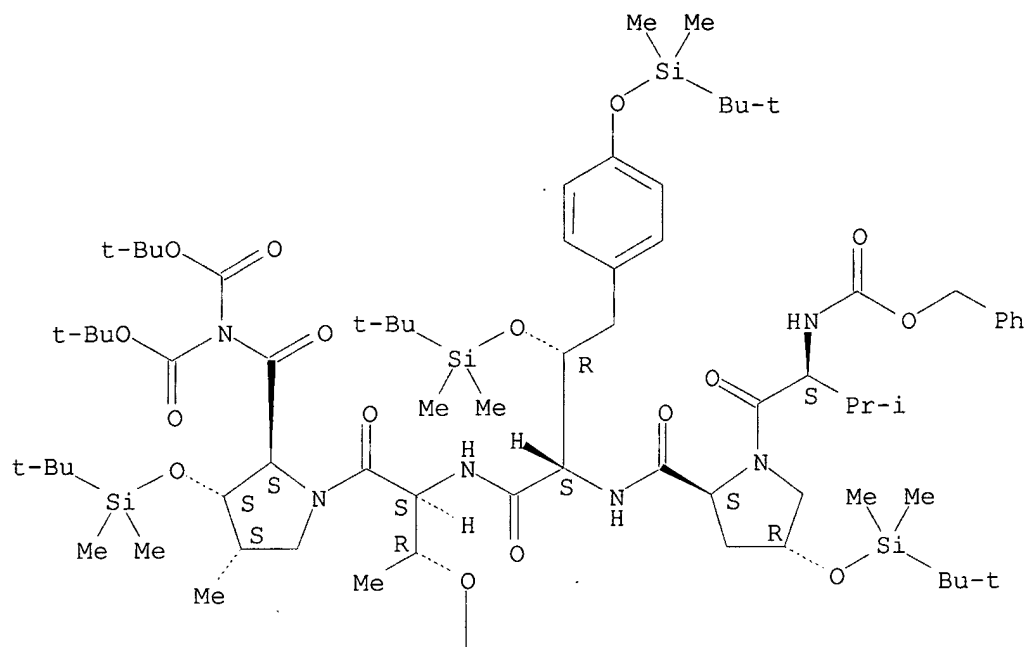
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

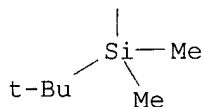
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

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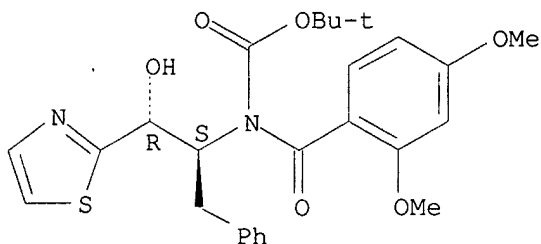


1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:194661

L30 ANSWER 20 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **174147-85-4** REGISTRY  
 CN Carbamic acid, (2,4-dimethoxybenzoyl)[2-hydroxy-1-(phenylmethyl)-2-(2-thiazolyl)ethyl]-, 1,1-dimethylethyl ester, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C26 H30 N2 O6 S  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:203052

L30 ANSWER 30 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 156719-77-6 REGISTRY

CN threo-Pentitol, 2,3,4-trideoxy-2,4-epithio-, [(1-ethylpyridinium-2-yl)methyl](2-methoxybenzoyl)carbamate octadecylcarbamate, chloride (9CI)  
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thietane, pyridinium deriv.

FS STEREOSEARCH

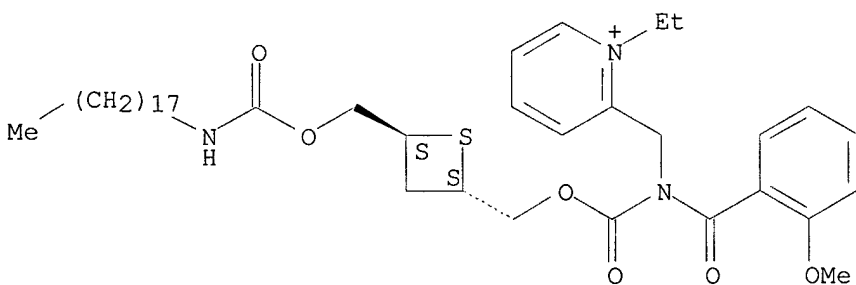
DR 186752-12-5

MF C41 H64 N3 O6 S . Cl

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.



● Cl<sup>-</sup>

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

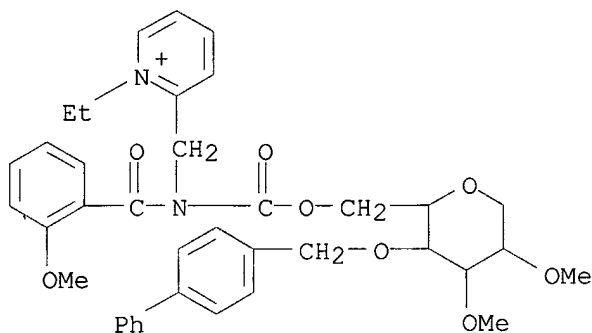
REFERENCE 1: 126:157369

REFERENCE 2: 124:202845

REFERENCE 3: 123:314308

REFERENCE 4: 121:133939

L30 ANSWER 40 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 138198-34-2 REGISTRY  
 CN D-Glucitol, 1,5-anhydro-4-O-([1,1'-biphenyl]-4-ylmethyl)-2,3-di-O-methyl-,  
 [(1-ethylpyridinium-2-yl)methyl](2-methoxybenzoyl)carbamate, iodide (9CI)  
 (CA INDEX NAME)  
 MF C38 H43 N2 O8 . I  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

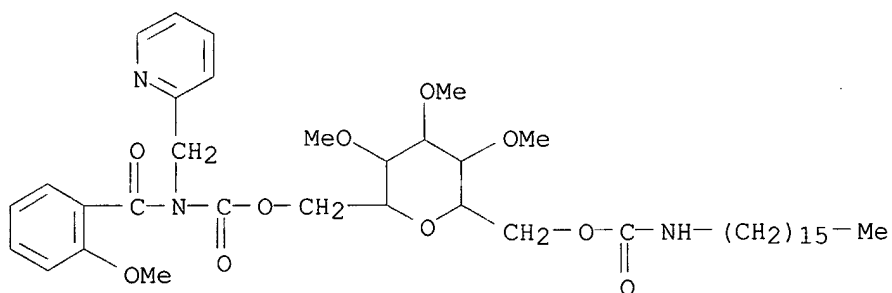


● I<sup>-</sup>

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:41979

L30 ANSWER 50 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 138105-88-1 REGISTRY  
 CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-3,4,5-tri-O-methyl-,  
 7-(hexadecylcarbamate) 1-[(2-methoxybenzoyl)(2-pyridinylmethyl)carbamate]  
 (9CI) (CA INDEX NAME)  
 MF C42 H65 N3 O10  
 SR CA  
 LC STN Files: CA, CAPLUS

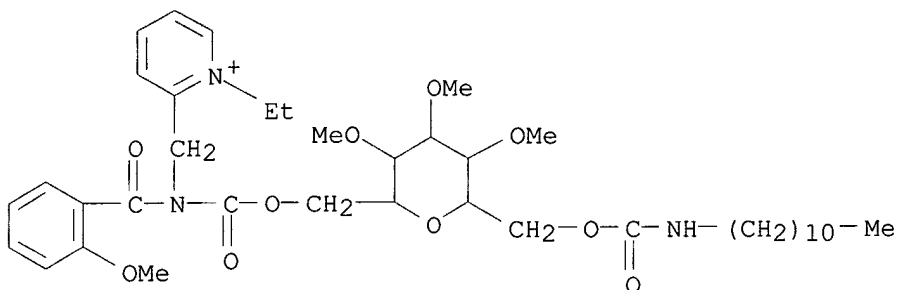


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:174669

L30 ANSWER 60 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **138085-61-7** REGISTRY  
 CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-3,4,5-tri-O-methyl-,  
 1-[[[(1-ethylpyridinium-2-yl)methyl](2-methoxybenzoyl)carbamate]  
 7-(undecylcarbamate), chloride (9CI) (CA INDEX NAME)  
 MF C39 H60 N3 O10 . Cl  
 SR CA  
 LC STN Files: CA, CAPLUS

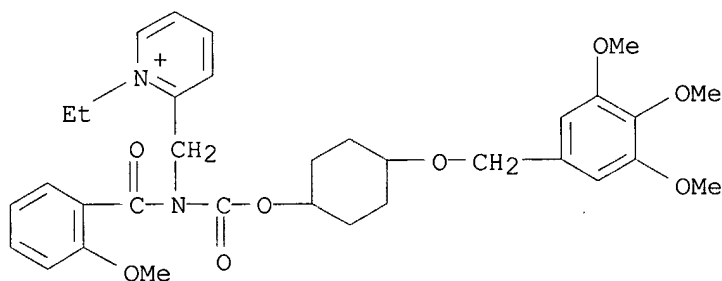


● Cl<sup>-</sup>

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:174669

L30 ANSWER 70 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **137780-11-1** REGISTRY  
 CN Pyridinium, 1-ethyl-2-[[[(2-methoxybenzoyl)[[4-[(3,4,5-trimethoxyphenyl)methoxy]cyclohexyl]oxy]carbonyl]amino]methyl]-, iodide  
 (9CI) (CA INDEX NAME)  
 MF C33 H41 N2 O8 . I  
 SR CA  
 LC STN Files: CA, CAPLUS



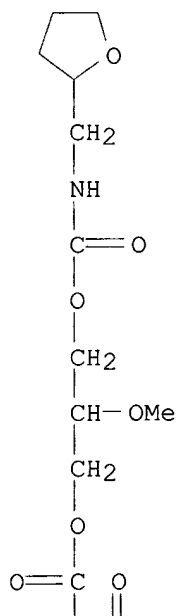
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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

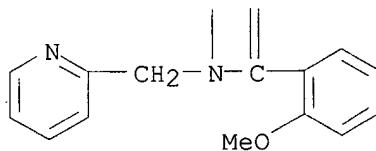
REFERENCE 1: 116:6190

L30 ANSWER 80 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **128420-63-3** REGISTRY  
 CN Carbamic acid, (2-methoxybenzoyl)(2-pyridinylmethyl)-,  
 2-methoxy-3-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]oxy]propyl  
 ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C25 H31 N3 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

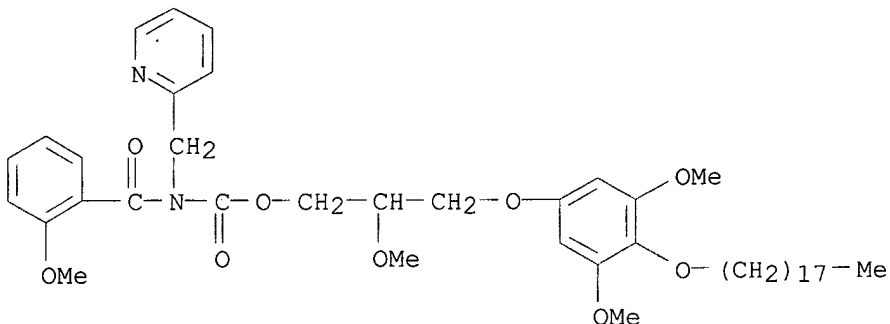
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 90 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **128400-78-2** REGISTRY  
 CN Carbamic acid, (2-methoxybenzoyl)(2-pyridinylmethyl)-,

3-[3,5-dimethoxy-4-(octadecyloxy)phenoxy]-2-methoxypropyl ester (9CI) (CA  
INDEX NAME)

FS 3D CONCORD  
MF C45 H66 N2 O9  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

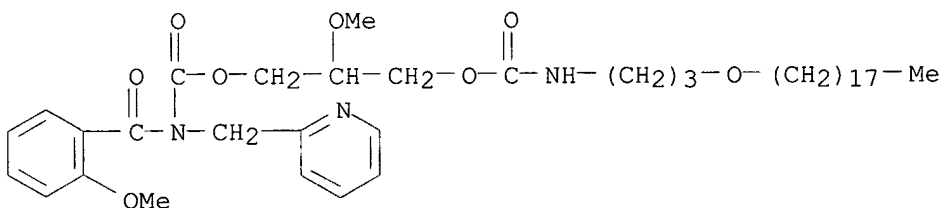


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 100 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **128400-66-8** REGISTRY  
CN Carbamic acid, (2-methoxybenzoyl) (2-pyridinylmethyl)-,  
2-methoxy-3-[[[3-(octadecyloxy)propyl]amino]carbonyl]oxy]propyl ester  
(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C41 H65 N3 O8  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL



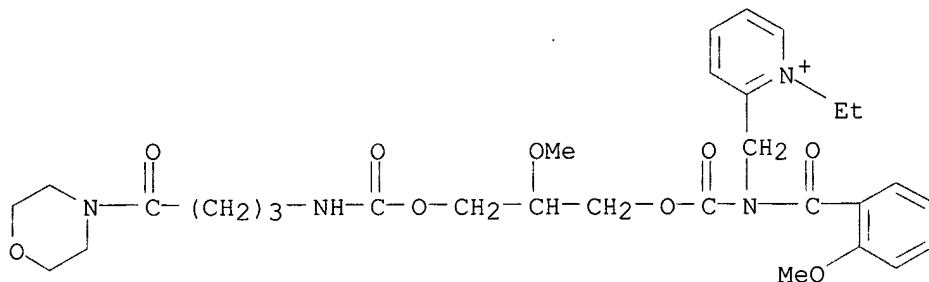
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 110 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **128400-50-0** REGISTRY  
CN Pyridinium, 1-ethyl-2-[6-methoxy-2-(2-methoxybenzoyl)-14-(4-morpholinyl)-  
3,9,14-trioxo-4,8-dioxa-2,10-diazatetradec-1-yl]-, chloride (9CI) (CA  
INDEX NAME)

MF C30 H41 N4 O9 . Cl  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

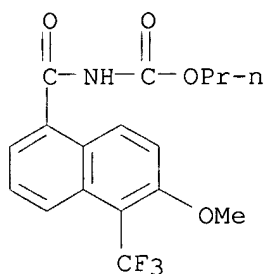


● Cl<sup>-</sup>

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 120 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **121731-54-2** REGISTRY  
 CN Carbamic acid, [[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-, propyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C17 H16 F3 N O4  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

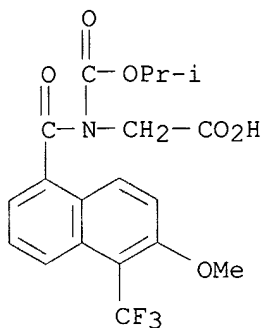
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:195363

L30 ANSWER 130 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN **121731-16-6** REGISTRY  
 CN Glycine, N-[[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-[(1-methylethoxy)carbonyl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD



MF C19 H18 F3 N O6  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT, USPATFULL  
 (\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 112:56693

REFERENCE 2: 111:195363

L30 ANSWER 135 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN **70118-04-6** REGISTRY

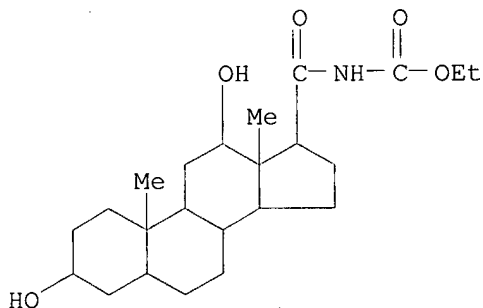
CN Carbamic acid, [[[3.alpha.,5.beta.,12.alpha.,17.beta.)-3,12-dihydroxyandrostane-17-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Androstane, carbamic acid deriv.

MF C23 H37 N O5

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 90:204491